Patent and Trademark Office

SEARCH REQUEST FORM

3019 M3

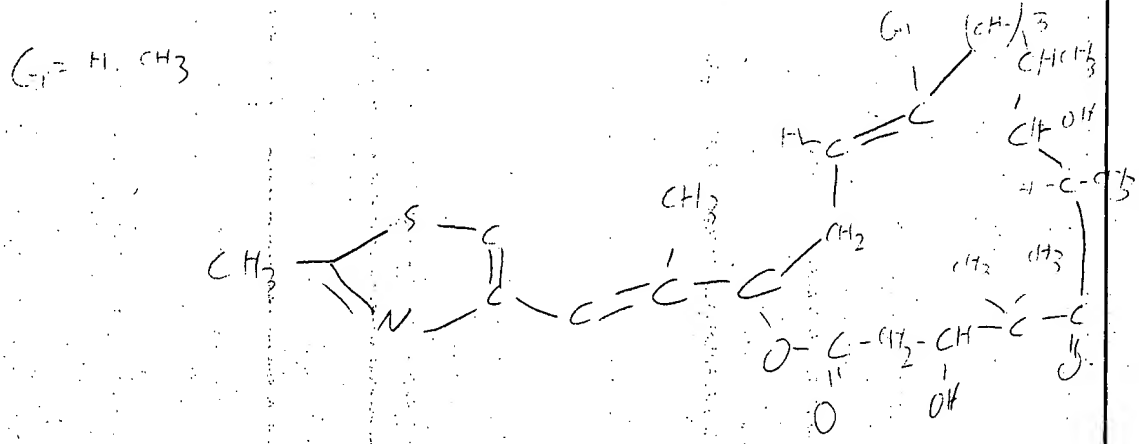
Requestor's Name: Gary H Serial Number: 313521

Date: 12/8/00 Phone: 308-4431 Art Unit: 1626 3B09

Search Topic:

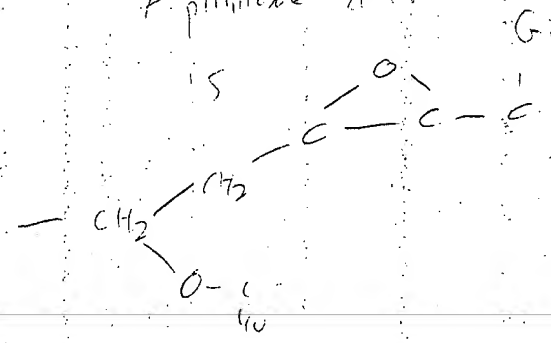
Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

EPI THILONE "C or D"



You can

Epithilone A or B



STAFF USE ONLY

Date completed: 12/8/00

Searcher: JM

Terminal time: 20

Elapsed time: 1:37

CPU time:

Total time:

Number of Searches: 1

Number of Databases:

Search Site

- ____ STIC
- ✓ CM-1
- ____ Pre-S

Type of Search

- ____ N.A. Sequence
- ✓ A.A. Sequence
- ✓ Structure
- ____ Bibliographic

Vendors

- ____ IG
- ✓ STN
- ____ Dialog
- ____ APS
- ____ Geninfo
- ____ SDC
- ____ DARC/Questel
- ____ Other

PTO-1590 (8-90)

=> fil reg

FILE 'REGISTRY' ENTERED AT 08:27:40 ON 08 DEC 2000
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES: 7 DEC 2000 HIGHEST RN 307492-37-1
DICTIONARY FILE UPDATES: 7 DEC 2000 HIGHEST RN 307492-37-1

TSCA INFORMATION NOW CURRENT THROUGH July 8, 2000

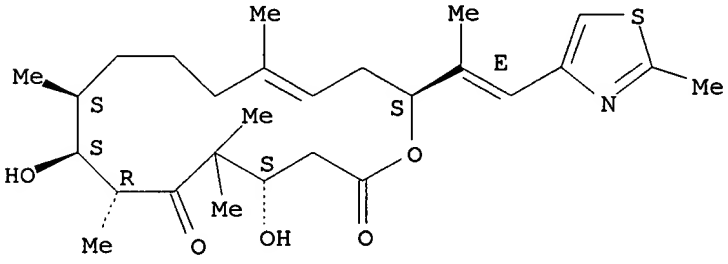
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT for details.

=> d ide can tot l26

L26 ANSWER 1 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 301857-29-4 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9,13-pentamethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7R,8S,9S,16S)- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C27 H41 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



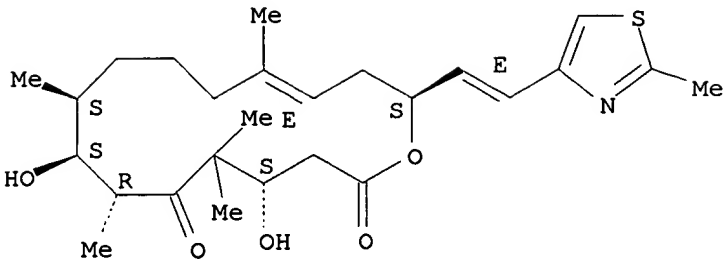
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:309795

L26 ANSWER 2 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 288386-51-6 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9,13-pentamethyl-16-[(1E)-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7R,8S,9S,13E,16S)- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.

Point of Contact:
Jan Delaval
Librarian-Physical Sciences
CM1 1E01 Tel: 308-4498

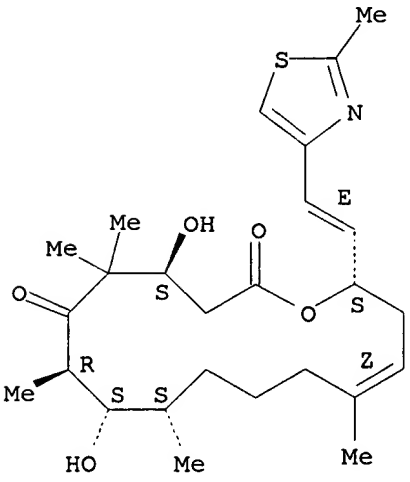


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:177064

L26 ANSWER 3 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 252986-93-9 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9,13-pentamethyl-16-[(1E)-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7R,8S,9S,13Z,16S)- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN 16-Desmethyl-12,13-deoxyepothilone B
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry as shown.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

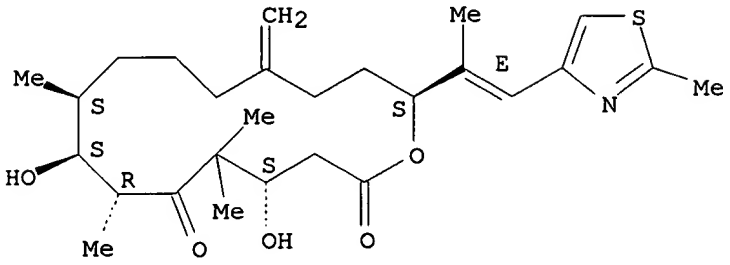
REFERENCE 1: 133:177064

REFERENCE 2: 132:49832

L26 ANSWER 4 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 213312-66-4 REGISTRY
CN **Oxacyclohexadecane-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-13-methylene-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7R,8S,9S,16S)- (9CI)** (CA INDEX NAME)
FS STEREOSEARCH

MF **C27 H41 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.

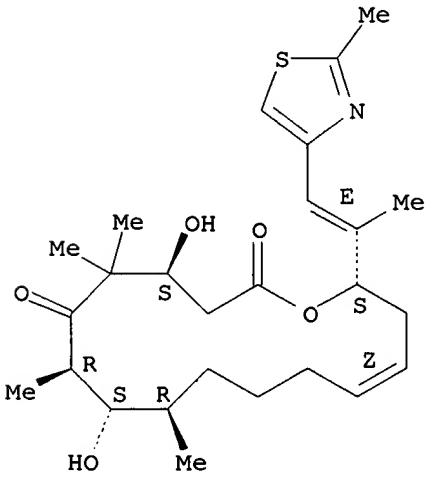


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:244965

L26 ANSWER 5 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 198571-70-9 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7R,8S,9R,13Z,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7S*,8R*,9S*,13Z,16R*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



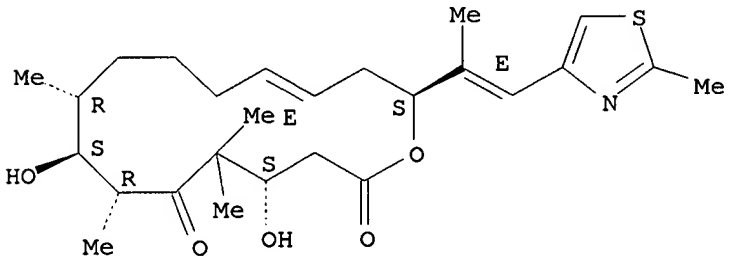
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:81625

REFERENCE 2: 128:3560

L26 ANSWER 6 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 198571-66-3 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7R,8S,9R,13E,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7S*,8R*,9S*,13E,16R*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



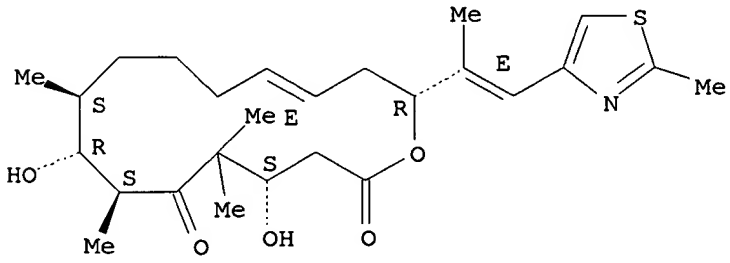
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:81625

REFERENCE 2: 128:3560

L26 ANSWER 7 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 198571-39-0 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7S,8R,9S,13E,16R)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7R*,8S*,9R*,13E,16S*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



2 REFERENCES IN FILE CA (1967 TO DATE)

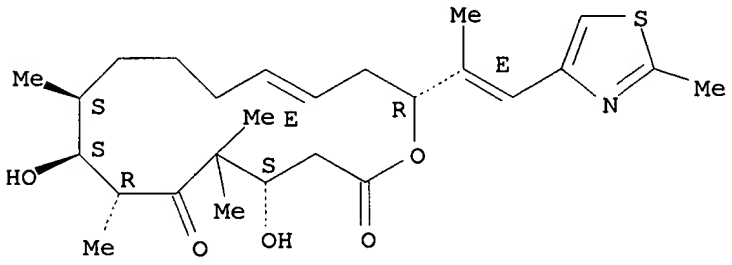
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:81625

REFERENCE 2: 128:3560

L26 ANSWER 8 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 198571-38-9 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7R,8S,9S,13E,16R)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7S*,8R*,9R*,13E,16S*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



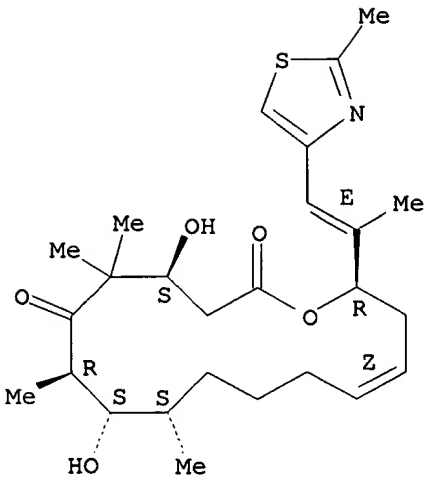
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:81625

REFERENCE 2: 128:3560

L26 ANSWER 9 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 198571-37-8 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7R,8S,9S,13Z,16R)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7S*,8R*,9R*,13Z,16S*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



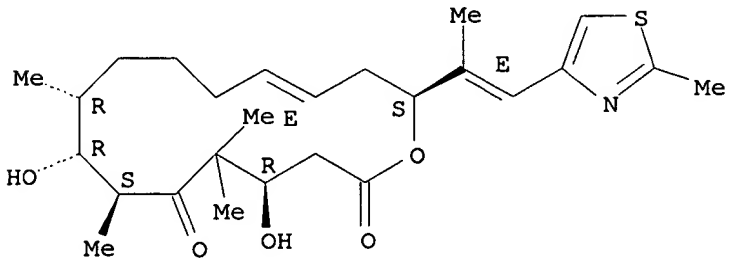
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:81625

REFERENCE 2: 128:3560

L26 ANSWER 10 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 198571-32-3 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4R,7S,8R,9R,13E,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4R-[4R*,7S*,8R*,9R*,13E,16S*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

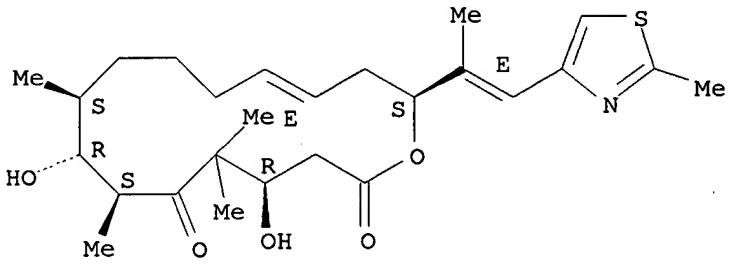
REFERENCE 1: 129:81625

REFERENCE 2: 128:3560

L26 ANSWER 11 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 198571-31-2 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4R,7S,8R,9S,13E,16S)-**

(9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4R-[4R*,7S*,8R*,9S*,13E,16S*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



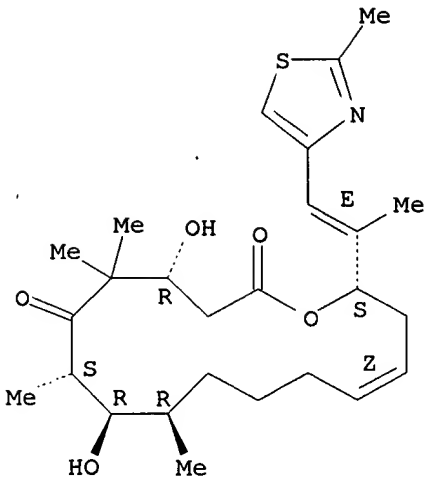
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:81625

REFERENCE 2: 128:3560

L26 ANSWER 12 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 198571-29-8 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4R,7S,8R,9R,13Z,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4R-[4R*,7S*,8R*,9R*,13Z,16S*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



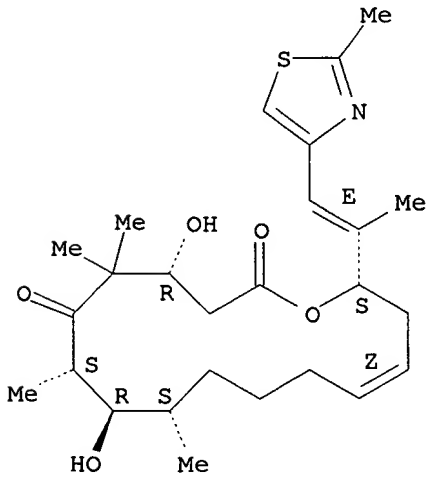
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:81625

REFERENCE 2: 128:3560

L26 ANSWER 13 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 198571-28-7 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4R,7S,8R,9S,13Z,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4R-[4R*,7S*,8R*,9S*,13Z,16S*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



2 REFERENCES IN FILE CA (1967 TO DATE)

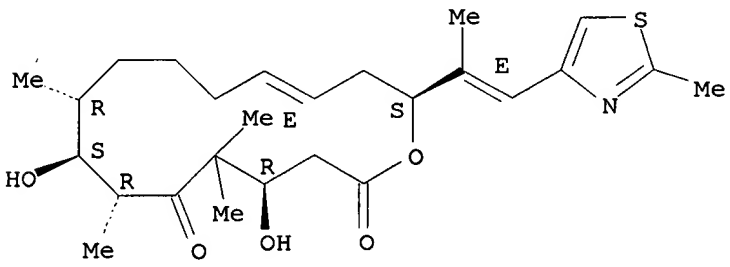
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:81625

REFERENCE 2: 128:3560

L26 ANSWER 14 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 198571-25-4 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4R,7R,8S,9R,13E,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4R-[4R*,7R*,8S*,9R*,13E,16S*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



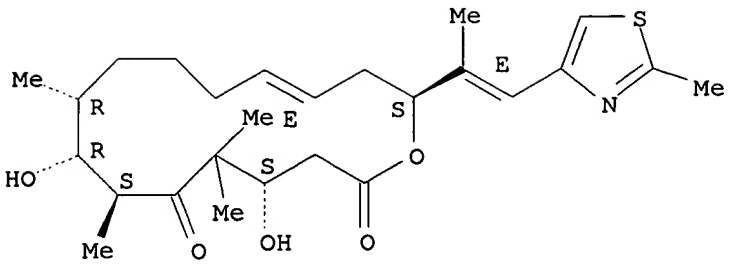
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:81625

REFERENCE 2: 128:3560

L26 ANSWER 15 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 198571-20-9 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7S,8R,9R,13E,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7R*,8S*,9S*,13E,16R*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



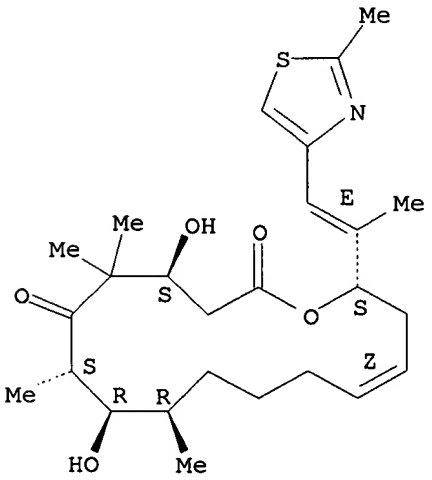
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:81625

REFERENCE 2: 128:3560

L26 ANSWER 16 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 198571-16-3 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7S,8R,9R,13Z,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7R*,8S*,9S*,13Z,16R*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

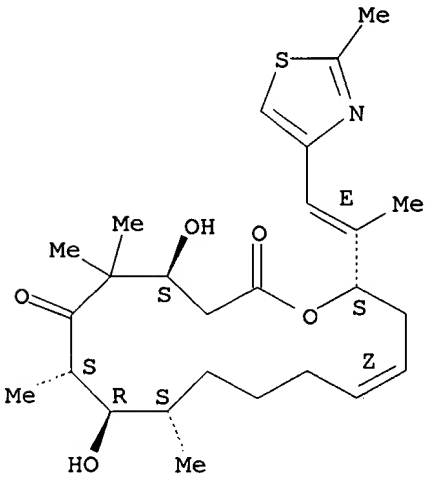
REFERENCE 1: 129:81625

REFERENCE 2: 128:3560

L26 ANSWER 17 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 193146-35-9 REGISTRY

CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7S,8R,9S,13Z,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7R*,8S*,9R*,13Z,16R*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



4 REFERENCES IN FILE CA (1967 TO DATE)
4 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:124926

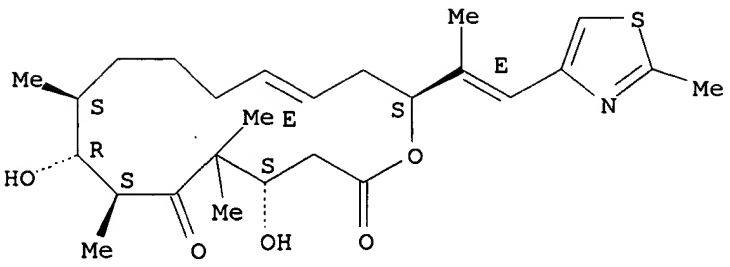
REFERENCE 2: 129:81625

REFERENCE 3: 128:3560

REFERENCE 4: 127:135660

L26 ANSWER 18 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 193071-86-2 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7S,8R,9S,13E,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7R*,8S*,9R*,13E,16R*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



4 REFERENCES IN FILE CA (1967 TO DATE)
4 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:293587

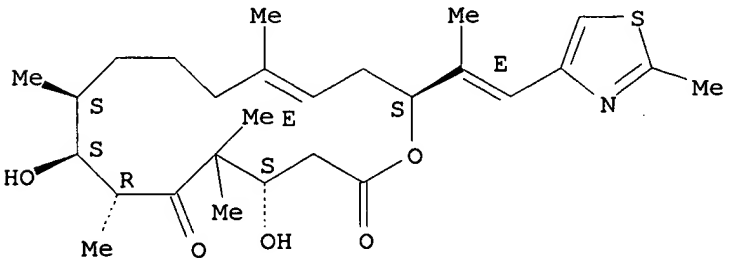
REFERENCE 2: 129:81625

REFERENCE 3: 128:3560

REFERENCE 4: 127:149021

L26 ANSWER 19 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 189453-40-5 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9,13-pentamethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7R,8S,9S,13E,16S)- (9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9,13-pentamethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7S*,8R*,9R*,13E,16R*(E)]]-**
OTHER NAMES:
CN (E)-Desoxyepothilone B
CN trans-Desoxyepothilone B
FS STEREOSEARCH
MF **C27 H41 N O5 S**
SR CA
LC STN Files: CA, CAPLUS, TOXLIT, USPATFULL

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



12 REFERENCES IN FILE CA (1967 TO DATE)
12 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:321737

REFERENCE 2: 131:199557

REFERENCE 3: 131:124926

REFERENCE 4: 130:124934

REFERENCE 5: 129:81625

REFERENCE 6: 128:3560

REFERENCE 7: 127:358730

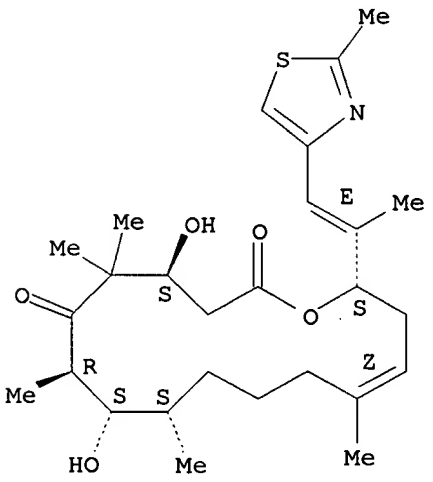
REFERENCE 8: 127:346221

REFERENCE 9: 127:293040

REFERENCE 10: 127:135660

L26 ANSWER 20 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN **189453-10-9** REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9,13-pentamethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7R,8S,9S,13Z,16S)- (9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9,13-pentamethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7S*,8R*,9R*,13Z,16R*(E)]]-**
OTHER NAMES:
CN (-)-Desoxyepothilone B
CN 12,13-Deoxyepothilone B
CN 12,13-Desoxyepothilone B
CN Desoxyepothilone B
CN Epothilone D
CN NSC 703147
FS STEREOSEARCH
MF **C27 H41 N O5 S**
SR CA
LC STN Files: BIOSIS, CA, CAPLUS, CASREACT, DRUGUPDATES, RTECS*, TOXLIT, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



47 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
48 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:321737

REFERENCE 2: 133:275843

REFERENCE 3: 133:266634

REFERENCE 4: 133:89354

REFERENCE 5: 133:58659

REFERENCE 6: 133:30608

REFERENCE 7: 133:27369

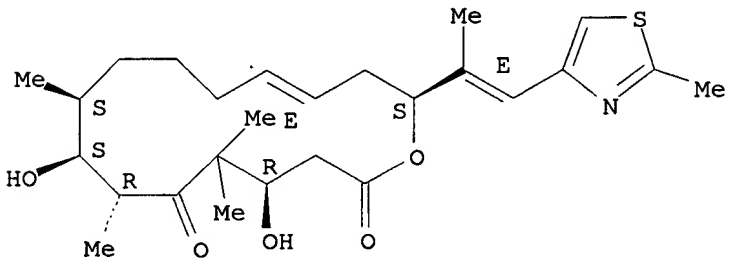
REFERENCE 8: 132:293600

REFERENCE 9: 132:289462

REFERENCE 10: 132:49831

L26 ANSWER 21 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 188260-34-6 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4R,7R,8S,9S,13E,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4R-[4R*,7R*,8S*,9S*,13E,16S*(E)]]-**
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:81625

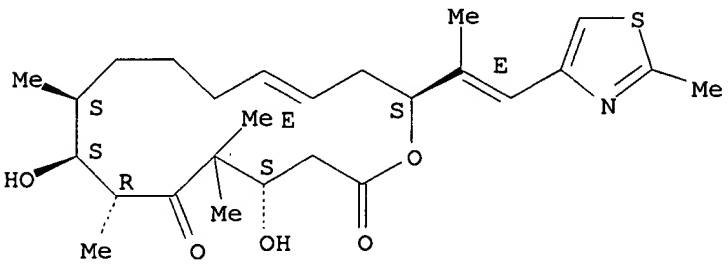
REFERENCE 2: 128:3560

REFERENCE 3: 126:225133

L26 ANSWER 22 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 188260-10-8 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7R,8S,9S,13E,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7S*,8R*,9R*,13E,16R*(E)]]-**
OTHER NAMES:
CN trans-Desoxyepothilone A
CN trans-Epothilone C
FS STEREOSEARCH

MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



15 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
15 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:321737

REFERENCE 2: 132:293587

REFERENCE 3: 131:351124

REFERENCE 4: 131:199557

REFERENCE 5: 131:199535

REFERENCE 6: 130:124934

REFERENCE 7: 129:81625

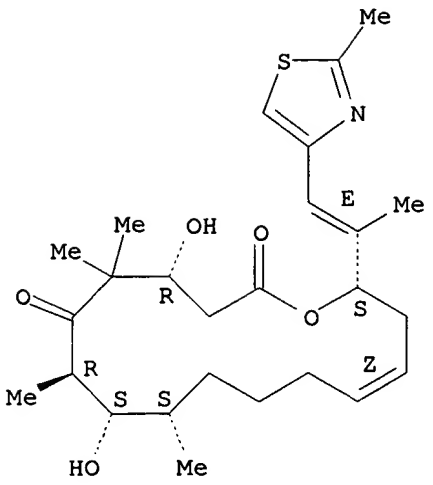
REFERENCE 8: 128:3560

REFERENCE 9: 127:358730

REFERENCE 10: 127:346221

L26 ANSWER 23 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN 188259-95-2 REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4R,7R,8S,9S,13Z,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4R-[4R*,7R*,8S*,9S*,13Z,16S*(E)]]-**
OTHER NAMES:
CN 3-epi-Desoxyepothilone A
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



6 REFERENCES IN FILE CA (1967 TO DATE)
6 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:199557

REFERENCE 2: 130:124934

REFERENCE 3: 129:81625

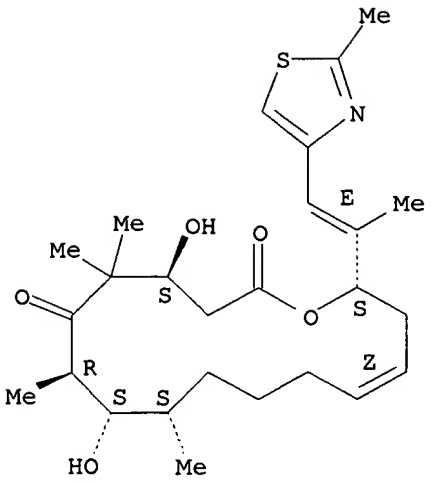
REFERENCE 4: 128:3560

REFERENCE 5: 127:293040

REFERENCE 6: 126:225133

L26 ANSWER 24 OF 24 REGISTRY COPYRIGHT 2000 ACS
RN **186692-73-9** REGISTRY
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (4S,7R,8S,9S,13Z,16S)-(9CI)** (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN **Oxacyclohexadec-13-ene-2,6-dione, 4,8-dihydroxy-5,5,7,9-tetramethyl-16-[1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, [4S-[4R*,7S*,8R*,9R*,13Z,16R*(E)]]-**
OTHER NAMES:
CN (-)-Deoxyepothilone A
CN (-)-Desoxyepothilone A
CN Desoxyepothilone A
CN Epothilone C
FS STEREOSEARCH
MF **C26 H39 N O5 S**
SR CA
LC STN Files: BIOSIS, CA, CAPLUS, CASREACT, DRUGUPDATES, TOXLIT, USPATFULL

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



47 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
48 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:321737

REFERENCE 2: 133:275843

REFERENCE 3: 133:266631

REFERENCE 4: 133:30608

REFERENCE 5: 133:27369

REFERENCE 6: 132:293587

REFERENCE 7: 132:289462

REFERENCE 8: 132:251011

REFERENCE 9: 132:49831

REFERENCE 10: 132:49105

=> d his l26-

(FILE 'REGISTRY' ENTERED AT 08:16:53 ON 08 DEC 2000)
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SAV L26 GERSTL313/A
SEL RN
L27 0 S E1-E24/CRN

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L28 0 S L26

FILE 'HCAPLUS' ENTERED AT 08:24:11 ON 08 DEC 2000
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L30 3 S L2-L5 AND L29
L31 3 S L16,L30
L32 31 S L14,L15
L33 71 S L29,L32
L34 27 S L33 AND (PD<=19971118 OR PRD<=19971118 OR PRD.B<=19971118 OR
L35 29 S L31,L34

FILE 'USPATFULL' ENTERED AT 08:27:17 ON 08 DEC 2000
L36 6 S L26
L37 3 S L14,L15
L38 9 S L36,L37

FILE 'REGISTRY' ENTERED AT 08:27:40 ON 08 DEC 2000

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 08:29:11 ON 08 DEC 2000

FILE COVERS 1967 - 8 Dec 2000 VOL 133 ISS 25
FILE LAST UPDATED: 7 Dec 2000 (20001207/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Now you can extend your author, patent assignee, patent information, and title searches back to 1907. The records from 1907-1966 now have this searchable data in CAOLD. You now have electronic access to all of CA: 1907 to 1966 in CAOLD and 1967 to the present in HCAPLUS on STN.

=> d l35 bib abs hitrn tot

L35 ANSWER 1 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 2000:316343 HCAPLUS
Correction of: 1997:528752
DN 132:293587
Correction of: 127:149021
TI The Olefin Metathesis Approach to Epothilone A and Its Analogs
AU Nicolaou, K. C.; He, Y.; Vourloumis, D.; Vallberg, H.; Roschangar, F.; Sarabia, F.; Ninkovic, S.; Yang, Z.; Trujillo, J. I.
CS Institute for Chemical Biology, La Jolla, CA, 92037, USA
SO J. Am. Chem. Soc. (**1997**), 119(34), 7960-7973
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The olefin metathesis approach to epothilone A (I) and several diastereomeric analogs is described. Key building blocks II, (S)-OHCCH(Me)CH2CH2CH2CH=CH2, and (S)-MeCH2COC(Me)2CH(OSiMe2CMe3)CH2CO2H were constructed in optically active form and were coupled and elaborated to olefin metathesis precursor III (R = SiMe2CMe3) via an aldol reaction and an esterification coupling. Olefin metathesis of compd. III (R = SiMe2CMe3), under the catalytic influence of RuCl2(:CHPh)(PCy3)2,

furnished cis- and trans-cyclic olefins IV (R = SiMe2CMe3). Epoxidn. of (Z)-IV (R = H) gave I and several analogs, whereas epoxidn. of (E)-IV (R = H) resulted in addnl. epothilones. Similar elaboration of isomeric as well as simpler intermediates resulted in yet another series of epothilone analogs and model systems.

IT **186692-73-9P 188260-10-8P 193071-86-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of epothilone A and analogs via olefin metathesis)

L35 ANSWER 2 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1999:811249 HCAPLUS
DN 132:49105
TI **Epothilone** minor constituents
IN **Hoefle, Gerhard; Reichenbach, Hans; Gerth, Klaus**; Hardt, Ingo; Sasse, Florenz; **Steinmetz, Heinrich**
PA Gesellschaft Fur Biotechnologische Forschung m.b.H. (Gbf), Germany
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9965913	A2	19991223	WO 1999-EP4244	19990618
WO 9965913	A3	20000420		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 19826988	A1	19991223	DE 1998-19826988	19980618
AU 9948995	A1	20000105	AU 1999-48995	19990618

PRAI DE 1998-19826988 19980618
WO 1999-EP4244 19990618
AB The invention relates to compds. which are obtained by fermenting DSM 6773, esp. **epothilones** A1, A2, A8, A9, B10, C1, C2, C3, C4, C5, C6, C7, C8, C9, D1, D2, D5, G1, G2, H1, H2, I1, I2, I3, I4, I5, I6 and K and trans-**epothilones** C1 and C2.
IT **186692-73-9P, Epothilone C**
189453-10-9P, Epothilone D
RL: BAC (Biological activity or effector, except adverse); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(**epothilone** minor constituents)

L35 ANSWER 3 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1999:566025 HCAPLUS
DN 131:199557
TI Synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype
IN Danishefsky, Samuel J.; Balog, Aaron; Bertinato, Peter; Su, Dai-Shi; Chou, Ting-Chau; Meng, Dongfang; Kamenecka, Ted; Sorensen, Erik J.; Kuduk, Scott; Harris, Christina; Zhang, Xiu-Guo; Bertino, Joseph R.
PA Sloan-Kettering Institute for Cancer Research, USA
SO PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9943653	A1	19990902	WO 1999-US4008	19990224

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

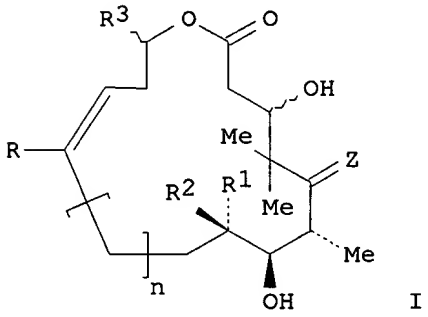
DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	JP 10215729	A2	19980818	JP 1997-21245	19970204 <--
	JP 2949218	B2	19990913		
	JP 11266740	A2	19991005	JP 1999-30408	19970204 <--
	JP 11266769	A2	19991005	JP 1999-30409	19970204 <--
	US 6057145	A	20000502	US 1997-977626	19971125 <--
	AU 705805	B2	19990603	AU 1998-50384	19980108 <--
	AU 9850384	A1	19980806		
	US 6033658	A	20000307	US 1998-75947	19980512 <--
	AU 9927858	A1	19990915	AU 1999-27858	19990224

PRAI US 1998-75947 19980225
US 1998-92319 19980709
US 1998-97733 19980824
JP 1997-21245 19970204 <--
US 1997-977626 19971125
WO 1999-US4008 19990224

OS MARPAT 131:199557

GI



I

AB Syntheses of epothilone A and B, desoxyepothilones A and B, and analogs (I) [R,R1,R2 = independently H, (un)substituted linear or branched chain alkyl; R3 = CHY=CHX, H, linear or branched chain alkyl, Ph, 2-methyl-1,3-thiazolinyl, 2-, 3-, or 4-furanyl, 2-, 3-, or 4-pyridyl, imidazolyl, 2-methyl-1,3-oxazolinyl, 3- or 6-indolyl; X = H, linear or branched chain alkyl, Ph, 2-methyl-1,3-thiazolinyl, 2-, 3-, or 4-furanyl, 2-, 3-, or 4-pyridyl, imidazolyl, 2-methyl-1,3-oxazolinyl, 3- or 6-indolyl; Y = H, linear or branched chain alkyl; Z = O, substituted NOH, substituted NNH2; n = 1-2] and their intermediates are described. Activities of novel compns. based on I and methods for the treatment of cancer and cancer which has developed a multidrug-resistant phenotype are presented.

IT **189453-10-9P**, Desoxyepothilone B
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)

IT **186692-73-9**, Desoxyepothilone A **188259-95-2**
188260-10-8 189453-40-5
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)

RE.CNT 4

RE

(1) Balog; Tetrahedron Letters 1997, V38(26), P4529 HCAPLUS
(2) March; Advanced Organic Chemistry 1977, V2nd Ed, P940
(3) Meng; J Am Chem Soc 1997, V119(42), P10073 HCAPLUS
(4) Nicolaou; Nature 1997, V387, P268 HCAPLUS

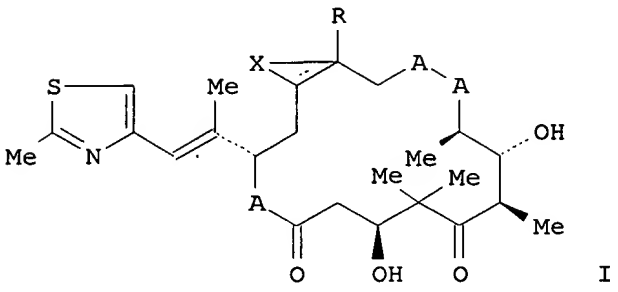
L35 ANSWER 4 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1999:470234 HCAPLUS
DN 131:286303
TI N-oxidation of **epothilone** A-C and O-acyl rearrangement to C-19- and C-21-substituted **epothilones**
AU Hofle, Gerhard; Glaser, Nicole; Kiffe, Michael; Hecht, Hans-Jurgen; Sasse, Florenz; **Reichenbach, Hans**
CS Abteilung Naturstoffchemie Gesellschaft fur Biotechnologische Forschung, Braunschweig, D-38124, Germany
SO Angew. Chem., Int. Ed. (1999), 38(13/14), 1971-1974
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
OS CASREACT 131:286303
AB **Epothilones** A-C underwent N-oxidn. on treatment with MCPBA in CH2Cl2. The N-oxide of **epothilones** A and B were converted to the 2-acetoxymethylthiazole derivs. with Ac2O and these were hydrolyzed to **epothilones** E and F. Some chloro and tosyloxy derivs. were also prepd. In vitro antitumor activities are reported.
IT **186692-73-9, Epothilone C 189453-10-9 , Epothilone D**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); BIOL (Biological study)
(N-oxidn. of **epothilone** A-C, O-acyl rearrangement and antitumor activity)
RE.CNT 35
RE
(2) Begtrup, M; Acta Chem Scand 1992, V46, P372 HCAPLUS
(4) Chou, T; Proc Natl Acad Sci USA 1998, V95, P15798 HCAPLUS
(5) Chou, T; Proc Natl Acad Sci USA 1998, V95, P9642 HCAPLUS
(6) Fenical, W; US 5437057 A 1995 HCAPLUS
(7) Gerth, K; J Antibiot 1996, V49, P560 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 5 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1999:64791 HCAPLUS
DN 130:139205
TI syntheses of epothilone derivatives and intermediates for use in treatment of hyperproliferative cellular disease
IN Vite, Gregory D.; Borzilleri, Robert M.; Kim, Soong-hoon; Johnson, James A.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI WO 9902514	A2	19990121	WO 1998-US12550	19980616	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9879720	A1	19990208	AU 1998-79720	19980616	<--
EP 1019389	A2	20000719	EP 1998-930300	19980616	<--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

BR 9810555 A 20000815 BR 1998-10555 19980616 <--
NO 2000000076 A 20000107 NO 2000-76 20000107 <--
PRAI US 1997-51951 19970708 <--
US 1997-67524 19971204
WO 1998-US12550 19980616
OS MARPAT 130:139205
GI



I

AB Syntheses of epothilone derivs. (I) (R = H, Me; A = CH2, O, NH; X = H when bond double, .alpha.-epoxy when bond single) and intermediates for use in treatment of hyperproliferative cellular disease are described.

IT **186692-73-9P, Epothilone C**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(syntheses of epothilone analogs and intermediates for use in treatment of hyperproliferative cellular disease)

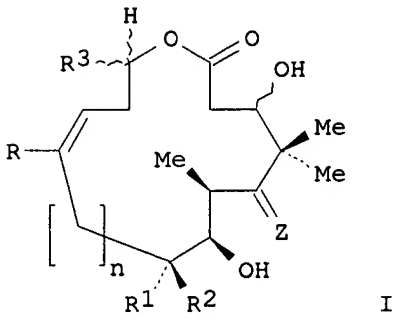
L35 ANSWER 6 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1999:48614 HCAPLUS
DN 130:124934
TI Synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype
IN Danishefsky, Samuel J.; Balog, Aaron; Bertinato, Peter; Su, Dai-Shi; Chou, Ting-Chau; Meng, Dong Fang; Kamenecka, Ted; Sorensen, Erik J.
PA Sloan-Kettering Institute for Cancer Research, USA
SO PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9901124	A1	19990114	WO 1997-US22381	19971203 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

EP 977563 A1 20000209 EP 1997-954055 19971203 <--
R: BE, CH, DE, FR, GB, IT, LI, NL, SE
AU 9857929 A1 19990125 AU 1998-57929 19971205 <--
PRAI US 1996-32282 19961203 <--
US 1997-33767 19970114 <--
US 1997-47566 19970522 <--

US 1997-47941 19970529 <--
US 1997-55533 19970813 <--
WO 1997-US22381 19971203
OS MARPAT 130:124934
GI



I

AB Syntheses of epothilone A and B, desoxyepothilones A and B, and analogs (I) [R,R1,R2 = independently H, (un)substituted linear or branched chain alkyl; R3 = CHY=CHX, H, linear or branched chain alkyl, Ph, 2-methyl-1,3-thiazolinyl, 2-, 3-, or 4-furanyl, 2-, 3-, or 4-pyridyl, imidazolyl, 2-methyl-1,3-oxazolinyl, 3- or 6-indolyl; X = H, linear or branched chain alkyl, Ph, 2-methyl-1,3-thiazolinyl, 2-, 3-, or 4-furanyl, 2-, 3-, or 4-pyridyl, imidazolyl, 2-methyl-1,3-oxazolinyl, 3- or 6-indolyl; Y = H, linear or branched chain alkyl; Z = O, substituted NOH, substituted NNH2; n = 0-3] and their intermediates are described. Activities of novel compns. based on I and methods for the treatment of cancer and cancer which has developed a multidrug-resistant phenotype are presented.

IT **186692-73-9P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)

IT **188259-95-2 188260-10-8 189453-10-9 189453-40-5**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)

RE.CNT 4
RE
(1) Bollag; Cancer Research 1995, V55(11), P2325 HCAPLUS
(2) Meng; J Org Chem 1996, V61(23), P7998 HCAPLUS
(3) Nicolaou; Angew Chem Int Ed Engl 1996, V35(20), P2399 HCAPLUS
(4) Victory; Bioorganic & Medicinal Chemistry Letters 1996, V6(7), P893 HCAPLUS

L35 ANSWER 7 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1998:804132 HCAPLUS
DN 130:33009
TI A method of treating cancer using an antineoplastic agent-prenyl-protein transferase inhibitor combination, and compound preparation
IN Rosen, Neal; Sepp-lorenzino, Laura; Moasser, Mark M.; Oliff, Allen I.; Gibbs, Jackson B.; Kohl, Nancy; Graham, Samuel L.; Prendergast, George C.
PA Merck & Co., Inc., USA; Sloan-Kettering Institute for Cancer Research
SO PCT Int. Appl., 379 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9854966	A1	19981210	WO 1998-US8646	19980604 <--

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9877957	A1	19981221	AU 1998-77957	19980604 <--
EP 986302	A1	20000322	EP 1998-926029	19980604 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI

PRAI US 1997-48736 19970605 <--
GB 1998-1231 19980121
WO 1998-US8646 19980604

AB Methods are provided for treating cancer using a combination of a compd. which is an antineoplastic agent and a compd. which is a inhibitor of prenyl-protein transferase. The methods comprise administering to a mammal, either sequentially in any order or simultaneously, amts. of .gtoreq.2 therapeutic agents selected from a compd. which is an antineoplastic agent and a compd. which is an inhibitor or prenyl-protein transferase. The invention also relates to methods of prepg. such compns.

IT **186692-73-9**, Desoxyepothilone A **189453-10-9**, Desoxyepothilone B
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antineoplastic agent-prenyl-protein transferase inhibitor combination for treating cancer, and compd. prepn.)

RE.CNT 1
RE
(1) Squibb & Sons Inc; EP 456180 A 1991

L35 ANSWER 8 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1998:762086 HCAPLUS
DN 129:343364
TI Methods for preparation of epothilone derivatives
PA Gesellschaft fuer Biotechnologische Forschung m.b.H. (GBF), Germany
SO Ger. Offen., 2 pp.
CODEN: GWXXBX
DT Patent
LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 19821954	A1	19981119	DE 1998-19821954	19980515 <--

PRAI DE 1997-19720250 19970515 <--
OS MARPAT 129:343364
AB Methods for prepn. of epothilone derivs. are characterized by: (a) proceeding from epothilones A, B, C or D, wherein the C(2)- and C(3)-atoms can be joined together through CH2CH(OH) or CH:CH and wherein one provides an (un)protected OH group at the resulting bond at C(3) and C(7); (b) oxidn. at C(16) to form a keto group; (c1) exchanging the oxygen of the keto-group to a :CH2 group using Ph3P:CH2; and if necessary (d1) this :CH2 group, with the help of the compd. RCH:CH2, is catalytically converted to a :CHR group [R = aliph. residue, (un)substituted Ph, heterocycle, esp. a pharmaceutically active residue]; or (c2) for the bond between C(16) and C(17) in known ways provides the CH:CH2 group, and if necessary (d2) this group with the help of metathesis is converted into a :CHR group. Also claimed is the use of ozone to form the C(16) keto group. In addn., the reaction of the keto group with NaBH4 followed by tosyl chloride and base or a Bamford-Stevens reaction to form the methylene compd. are claimed. Finally, rhodium, ruthenium, tungsten and molybdenum catalysts are claimed for the metathesis reactions.

IT **186692-73-9, Epothilone C 189453-10-9**

, **Epothilone D**
RL: RCT (Reactant)
(methods for prepn. of epothilone derivs.)

L35 ANSWER 9 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1998:760149 HCAPLUS
DN 130:29213
TI Glycoconjugates of antitumor drugs with improved in vivo compatibility
IN Bosslet, Klaus; Czech, Joerg; Gerken, Manfred; Straub, Rainer; Blumrich, Matthias
PA Hoechst A.-G., Germany
SO Ger. Offen., 8 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 19720312	A1	19981119	DE 1997-19720312	19970515 <--
EP 879605	A2	19981125	EP 1998-108041	19980502 <--
EP 879605	A3	19981202		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2237450	AA	19981115	CA 1998-2237450	19980513 <--
US 6020315	A	20000201	US 1998-76878	19980513 <--
CN 1199613	A	19981125	CN 1998-108475	19980514 <--
BR 9801632	A	19990629	BR 1998-1632	19980514 <--
AU 9866005	A1	19981119	AU 1998-66005	19980515 <--
JP 11029497	A2	19990202	JP 1998-133231	19980515 <--
PRAI DE 1997-19720312	19970515 <--			

OS MARPAT 130:29213
AB A compn. contg. a conjugate Glycosyl-Y[C(:Y)X]pW(R)nXC(:Y)A (Glycosyl = enzymically cleavable poly-, oligo-, or monosaccharide; W = arom. or heteroarom. residue, aliph. residue with conjugated double bounds, or amino acid residue which cyclizes after cleavage of the glycosyl residue; R = H, Me, OMe, CO2H, CN, CO2Me, OH, NO2, F, Cl, Br,SO3H, SO2NH2, alkylsulfonamide; X = O, NH, CH2O, CH2NH, CH2NMe, etc.; Y = O, NH; A = antitumor agent; p = 0, 1; n = integer), a sugar and/or sugar alc., a divalent ion, and a pharmacol. acceptable carrier shows enhanced antitumor activity with decreased side effects compared to the unconjugated drug. Preferably the conjugate is more hydrophilic than the unconjugated drug, and the spacer group is spontaneously cleaved by chem. hydrolysis. Thus, i.v. administration of a compn. contg. N-[4-O-(.beta.-D-glucopyranosyluronic acid)-3-nitrobenzyloxycarbonyl]doxorubicin Na salt (I) (400 mg/kg) in 0.9% NaCl soln. contg. 5% mannitol and CaCl2 to LoVo tumor-bearing mice on days 1, 4, and 8 considerably slowed tumor growth and decreased mortality compared to controls receiving I alone or combined only with mannitol.
IT **186692-73-9D**, **Epothilone C**, glycoconjugates
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(glycoconjugates of antitumor drugs with improved in vivo compatibility)

L35 ANSWER 10 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1998:689291 HCAPLUS
DN 129:290251
TI Preparation of prenyl derivatives as building blocks for epothilones
IN Wessjohann, Ludger A.; Kalesse, Markus
PA Germany
SO Ger. Offen., 8 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	DE 19713970	A1	19981008	DE 1997-19713970	19970404 <--
PRAI	DE 1997-19713970	19970404 <--			

AB **Epothilone C**(7)-C(18) building blocks are derived from prenyl derivs. Thus, (S)-PhCH2OCHMeCHO was treated with neryl chloride in presence of BaI2 to give the adduct which was silylated, hydroxylated, oxidized to aldehyde, and subjected to double bond redn. to give PhCH2OCHMeCH(OSiMe2CMe3)CH2CH:CMe(CH2)3CHMeCHO.

L35 ANSWER 11 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1998:405952 HCAPLUS
DN 129:81625
TI Preparation of epothilone analogs as anticancer agents
IN Nicolaou, Costa Kyriacos; He, Yun; Ninkovic, Sacha; Pastor, Joaquin; Roschangar, Frank; Sarabia, Francisco; Vallberg, Hans; Vourloumis, Dionisios; Winssinger, Nicolas; Yang, Zhen; King, Nigel Paul; et al.
PA Novartis A.-G., Switz.; Scripps Research Institute
SO PCT Int. Appl., 213 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9825929	A1	19980618	WO 1997-EP7011	19971212 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9857577	A1	19980703	AU 1998-57577	19971212 <--
	EP 944634	A1	19990929	EP 1997-953808	19971212 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9714140	A	20000229	BR 1997-14140	19971212 <--
	CN 1246862	A	20000308	CN 1997-181771	19971212 <--
PRAI	US 1996-32864	19961213 <--			
	US 1997-856533	19970514 <--			
	US 1997-923869	19970904 <--			
	WO 1997-EP7011	19971212			

OS MARPAT 129:81625
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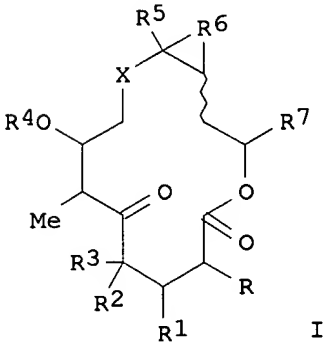
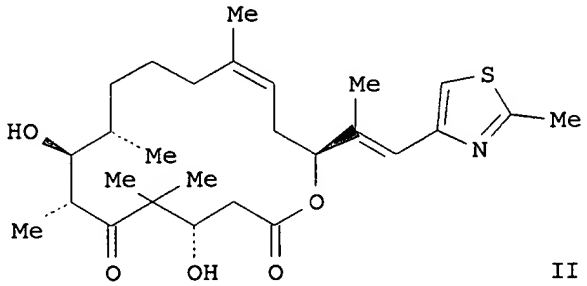


I



II

AB Epothilone A, epothilone B, analogs of epothilone and libraries of epothilone analogs of formula I [X = (CH2)n; n = 1-5; R1 = OH, OMe,

absent; R2, R3 = H, CH2, Me; R4 = H, Me, protecting group; R5 = H, Me, CHO, (substituted) CO2H, etc.; R6 = O, CH2, absent; R7 = thiazolealkyl, etc.] are synthesized. Epothilone A and B are known anticancer agents that derive their anticancer activity by the prevention of mitosis through the induction and stabilization of microtubulin assembly. Several of the analogs are demonstrated to have a superior cytotoxic activity as compared to epothilone A or epothilone B as demonstrated by their enhanced ability to induce the polymn. and stabilization of microtubules. Thus, II was prepd. and was shown to induce tubulin polymn. at 94% relative to GTP, and inhibit carcinoma cell growth.

IT **186692-73-9P 188260-10-8P 189453-10-9P**
189453-40-5P 193071-86-2P 193146-35-9P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of epothilone analogs as anticancer agents)

IT **188259-95-2P 188260-34-6P 198571-16-3P**
198571-20-9P 198571-25-4P 198571-28-7P
198571-29-8P 198571-31-2P 198571-32-3P
198571-37-8P 198571-38-9P 198571-39-0P
198571-66-3P 198571-70-9P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of epothilone analogs as anticancer agents)

L35 ANSWER 12 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1998:352834 HCAPLUS
DN 129:53436
TI **Epothilone C**, D, E and F, production process, and their use as cytostatics well as phytosanitary agents
IN **Reichenbach, Hans**; Hofle, Gerhard; **Gerth, Klaus**; **Steinmetz, Heinrich**
PA Gesellschaft Fur Biotechnologische Forschung m.b.H. (GBF), Germany; Reichenbach, Hans; Hofle, Gerhard; Gerth, Klaus; Steinmetz, Heinrich
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9822461	A1	19980528	WO 1997-EP6442	19971118 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9854837	A1	19980610	AU 1998-54837	19971118 <--
	ZA 9710384	A	19990518	ZA 1997-10384	19971118 <--
	EP 941227	A1	19990915	EP 1997-951233	19971118 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CN 1237970	A	19991208	CN 1997-199814	19971118 <--
	BR 9713363	A	20000125	BR 1997-13363	19971118 <--
	NO 9902338	A	19990514	NO 1999-2338	19990514 <--
PRAI	DE 1996-19647580	19961118 <--			
	DE 1997-19707506	19970225 <--			
	WO 1997-EP6442	19971118 <--			

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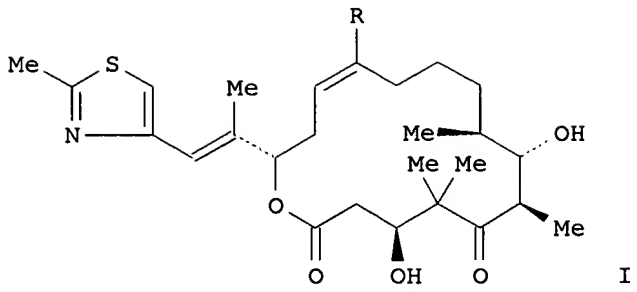
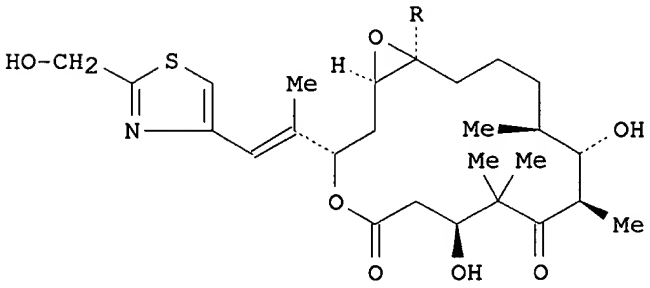


I



II

AB The present invention concerns the **epothilones**, esp. **epothilone C** [I; R = H] and **epothilone D** [I; R = Me] as well as **epothilone** E [II; R = H] and **epothilone** F [II; R = Me], the prodn. process, and their application for producing therapeutic agents, including cytostatic agents as well as phytosanitary agents.

IT **186692-73-9P, Epothilone C**
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**epothilone C**, D, E and F, prodn. process, and use as cytostatics well as phytosanitary agents)

IT **189453-10-9P, Epothilone D**
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**epothilone C**, D, E and F, prodn. process, and use as cytostatics well as phytosanitary agents)

L35 ANSWER 13 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1998:163596 HCAPLUS
DN 128:217229
TI Method for producing epothilones and the intermediate products obtained during the production process
IN Schinzer, Dieter; Limberg, Anja; Bohm, Oliver M.; Bauer, Armin; Cordes, Martin
PA Novartis Aktiengesellschaft, Switz.; Schinzer, Dieter; Limberg, Anja; Bohm, Oliver M.; Bauer, Armin; Cordes, Martin
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9808849	A1	19980305	WO 1997-DE111	19970115 <--

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG,

KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

DE	19636343	C1	19971023	DE 1996-19636343	19960830 <--
DE	19645361	A1	19980430	DE 1996-19645361	19961028 <--
DE	19645362	A1	19980430	DE 1996-19645362	19961028 <--
AU	9721493	A1	19980319	AU 1997-21493	19970115 <--
AU	716610	B2	20000302		
EP	923583	A1	19990623	EP 1997-914077	19970115 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

PRAI DE 1996-19636343 19960830 <--
DE 1996-19645361 19961028 <--
DE 1996-19645362 19961028 <--
WO 1997-DE111 19970115 <--

OS CASREACT 128:217229; MARPAT 128:217229
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A method for producing epothilones I [R = H (A), Me (B)] is characterized by reaction of thiazole II with carboxylic acid III (B = CH2Ph, THP, silyl protecting group; R = H, Me), followed by olefin metathesis in the presence of a noble metal catalyst, hydroxyl deprotection and epoxidn. Thus, epothilone A (I; R = H) was prepd. via acylation of II with III (R = H, B = SiMe2CMe3) in CH2Cl2 contg. DCC and DMAP, followed by olefin metathesis in CH2Cl2 contg. catalytic benzylidenebis(tricyclohexylphosphine)ruthenium dichloride, desilylation with aq. HF in Et2O/MeCN and epoxidn. with dimethyldioxirane in acetone. Epothilones A and B are natural substances which are produced by microorganisms and have similar properties to those of taxol and, therefore, are of interest to the pharmaceutical chem.

IT **186692-73-9P**, **Epothilone C**
189453-10-9P, Desoxyepothilone B
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of epothilones via olefin metathesis)

L35 ANSWER 14 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1998:729 HCAPLUS
DN 128:88685
TI Metathesis vs metastasis: the chemistry and biology of the epothilones
AU Finlay, Ray
CS Dep. Chemistry, The Skaggs Inst. for Chemical Biol., The Scripps Res. Inst., La Jolls, CA, 92037, USA
SO Chem. Ind. (London) (**1997**), (24), 991-996
CODEN: CHINAG; ISSN: 0009-3068
PB Society of Chemical Industry
DT Journal; General Review
LA English
AB A review with 15 refs. on a recent entry onto the scene of potentially useful natural products, the epothilones A - E, providing valuable information for the fight against cancer via their interaction with microtubules.

IT **186692-73-9P**, **Epothilone C**
189453-10-9P, **Epothilone D**
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(chem. and bioactivity of the epothilones)

L35 ANSWER 15 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:724919 HCAPLUS

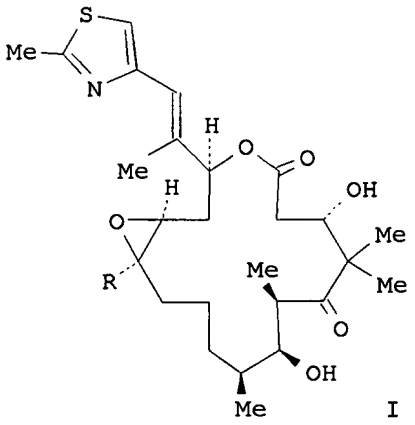
DN 127:346221
TI Synthesis of epothilones A and B in solid and solution phase. [Erratum to document cited in CA127:4950]
AU Nicolaou, K. C.; Winssinger, N.; Pastor, J.; Ninkovic, S.; Sarabia, F.; He, Y.; Vourloumis, D.; Yang, Z.; Li, T.; Giannakakou, P.; Hamel, E.
CS Dep. Chemistry, Skaggs Inst. Chem. Biology, Scripps Res. Inst., La Jolla, CA, 92037, USA
SO Nature (London) (**1997**), 390(6655), 100
CODEN: NATUAS; ISSN: 0028-0836
PB Macmillan Magazines
DT Journal
LA English
AB Ref. 19, includes, in addn. to a total synthesis of epothilone B, biol. data for compd. 23 and other congeners similar to the reported in the Letter.
IT **186692-73-9P 189453-10-9P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of a combinatorial library via solid-phase synthesis of epothilone A and soln.-phase synthesis of epothilone B (Erratum))
IT **188260-10-8P 189453-40-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of a combinatorial library via solid-phase synthesis of epothilone A and soln.-phase synthesis of epothilone B (Erratum))

L35 ANSWER 16 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:714315 HCAPLUS
DN 128:3560
TI Designed epothilones: combinatorial synthesis, tubulin assembly properties, and cytotoxic action against taxol-resistant tumor cells
AU Nicolaou, K. C.; Vourloumis, Dionisios; Li, Tianhu; Pastor, Joaquin; Winssinger, Nicolas; He, Yun; Ninkovic, Sacha; Sarabia, Francisco; Vallberg, Hans; Roschangar, Frank; King, N. Paul; Finlay, M. Ray V.; Giannakakou, Pareskevi; Verdier-Pinard, Pascal; Hamel, Ernest
CS Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SO Angew. Chem., Int. Ed. Engl. (**1997**), 36(19), 2097-2103
CODEN: ACIEAY; ISSN: 0570-0833
PB Wiley-VCH
DT Journal
LA English
AB The title work demonstrates the power of interfacing combinatorial chem. with chem. biol. as facilitated by solid-phase synthesis, radiofrequency encoded combinatorial chem. and modern biol. assays. A library of 112 epothilones were prepd. by solid-phase synthesis, their structure activity relationships measured by tubulin binding assay and some tested for inhibition of carcinoma cell growth.
IT **186692-73-9P 188259-95-2P 188260-10-8P**
188260-34-6P 189453-10-9P 189453-40-5P
193071-86-2P 193146-35-9P 198571-16-3P
198571-20-9P 198571-25-4P 198571-28-7P
198571-29-8P 198571-31-2P 198571-32-3P
198571-37-8P 198571-38-9P 198571-39-0P
198571-66-3P 198571-70-9P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(combinatorial synthesis of epothilone library, tubulin assembly properties, and cytotoxic action against taxol-resistant tumor cells)

L35 ANSWER 17 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:714314 HCAPLUS
DN 127:358730
TI Structure-activity relationships of the epothilones and the first in vivo comparison with paclitaxel
AU Su, Dai-Shi; Balog, Aaron; Meng, Dongfang; Bertinato, Peter; Danishefsky,

Samuel J.; Zheng, Yu-Huang; Chou, Ting-Chao; He, Lifeng; Horwitz, Susan B.
CS Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SO Angew. Chem., Int. Ed. Engl. (**1997**), 36(19), 2093-2096
CODEN: ACIEAY; ISSN: 0570-0833
PB Wiley-VCH
DT Journal
LA English
AB The structure-activity relationships of the epothilones and 18 derivs. and analogs were studied. An in vivo comparison of the chemotherapeutic effect of epothilone B with that of paclitaxel was also studied. The chemotherapeutic effect of daily doses of epothilone B (0.7 mg/kg) and paclitaxel (2 mg/kg) in CB-17 SCID mice bearing drug-resistant human CCRF-CEM/VBL xenografts were T/C = 0.33 and T/C = 0.70, resp.
IT **186692-73-9**, Desoxyepothilone A **188260-10-8**
189453-10-9, Desoxyepothilone B **189453-40-5**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(structure-activity relationships of the epothilones and in vivo comparison with paclitaxel)

L35 ANSWER 18 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:665094 HCAPLUS
DN 127:293040
TI Total Syntheses of Epothilones A and B
AU Meng, Dongfang; Bertinato, Peter; Balog, Aaron; Su, Dai-Shi; Kamenecka, Ted; Sorensen, Erik; Danishefsky, Samuel J.
CS Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SO J. Am. Chem. Soc. (**1997**), 119(42), 10073-10092
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 127:293040
GI



I

AB Convergent, stereocontrolled total syntheses of the microtubule-stabilizing macrolides epothilones A (I; R = H) and B (I; R = Me) have been achieved. Four distinct ring-forming strategies were pursued. Of these four, three were reduced to practice. In one approach, the action of a base on a substance possessing an acetate ester and a nonenolizable aldehyde brought about a remarkably effective macroaldolization simultaneously creating the C2-C3 bond and the hydroxyl-bearing stereocenter at C-3. Alternatively, the 16-membered macrolide of the epothilones could be fashioned through a C12-C13 ring-closing olefin metathesis and through macrolactonization of the appropriate hydroxy acid.

The application of a stereospecific B-alkyl Suzuki coupling strategy permitted the establishment of a cis C12-C13 olefin, thus setting the stage for an eventual site- and diastereoselective epoxidn. reaction. The development of a novel cyclopropane solvolysis strategy for incorporating the geminal Me groups of the epothilones, and the use of Lewis acid catalyzed diene-aldehyde cyclocondensation (LACDAC) and asym. allylation methodol. are also noteworthy.

IT **186692-73-9P**, (-)-Desoxyepothilone A **188259-95-2P**, 3-epi-Desoxyepothilone A **189453-10-9P**, (-)-Desoxyepothilone B
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(syntheses of epothilones A and B via macroaldolization, olefin metathesis and macrolactonization)

IT **188260-10-8P 189453-40-5P**, (E)-Desoxyepothilone B
RL: SPN (Synthetic preparation); PREP (Preparation)
(syntheses of epothilones A and B via macroaldolization, olefin metathesis and macrolactonization)

L35 ANSWER 19 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:528753 HCAPLUS
DN 127:135660
TI Total Syntheses of Epothilones A and B via a Macrolactonization-Based Strategy
AU Nicolaou, K. C.; Ninkovic, S.; Sarabia, F.; Vourloumis, D.; He, Y.; Vallberg, H.; Finlay, M. R. V.; Yang, Z.
CS Department of Chemistry and The Skaggs, Institute for Chemical Biology, La Jolla, CA, 92037, USA
SO J. Am. Chem. Soc. (**1997**), 119(34), 7974-7991
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 127:135660
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The total syntheses of epothilones A (I) (R = H) and B I (R = Me) and several analogs are described. The reported strategy relies on a macrolactonization approach and features selective epoxidn. of the macrocycle double bond in precursors II (R = H, Me) as well as high convergency and flexibility. Building blocks (S)-MeCH2COC(Me)2CH(OSiMe2CMe3)CH2CO2H, (S)-Me3CMe2SiOCH2CH(Me)CH2CH2CH2COR (R = H, Me), (III) [R2 = CH2CH2P+(Ph)3I-; CH2CHO] were constructed by asym. processes and coupled via Wittig, aldol, and macrolactonization reactions to afford the basic skeleton of epothilones and that of several of their analogs by a relatively short route. The utilization of intermediate III [R2 = (E)-CH2CH=C(Me)CH2CH2CH2I], obtained via a stereoselective Wittig reaction and its Enders coupling to SAMP hydrazone, in combination with a stereoselective aldol reaction with the modified substrate (S)-MeCH2COC(Me)2CH(OSiMe2CMe3)CH2CH2OSiMe2CMe3 improved the stereoselectivity and efficiency of the total synthesis of these new and highly potent microtubule binding antitumor agents.

IT **186692-73-9P 189453-10-9P 189453-40-5P 193146-35-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(total syntheses of epothilones A and B via a macrolactonization-based strategy)

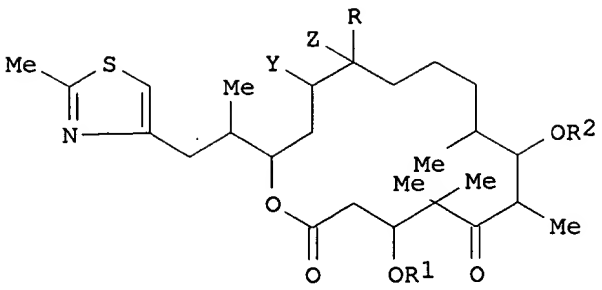
L35 ANSWER 20 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:528752 HCAPLUS
DN 127:149021
TI The Olefin Metathesis Approach to Epothilone A and Its Analogs
AU Nicolaou, K. C.; He, Y.; Vourloumis, D.; Vallberg, H.; Roschangar, F.;

Sarabia, F.; S.Ninkovic,; Yang, Z.; Trujillo, J. I.
CS Department of Chemistry and The Skaggs, Institute for Chemical Biology, La Jolla, CA, 92037, USA
SO J. Am. Chem. Soc. (**1997**), 119(34), 7960-7973
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 127:149021
GI For diagram(s), see printed CA Issue.
AB The olefin metathesis approach to epothilone A (I) and several diastereomeric analogs is described. Key building blocks II, (S)-OHCCH(Me)CH2CH2CH2CH=CH2, and (S)-MeCH2COC(Me)2CH(OSiMe2CMe3)CH2CO2H were constructed in optically active form and were coupled and elaborated to olefin metathesis precursor III (R = SiMe2CMe3) via an aldol reaction and an esterification coupling. Olefin metathesis of compd. III (R = SiMe2CMe3), under the catalytic influence of RuCl2(:CHPh)(PCy3)2, furnished cis- and trans-cyclic olefins IV (R = SiMe2CMe3). Epoxidn. of (Z)-IV (R = H) gave I and several analogs, whereas epoxidn. of (E)-IV (R = H) resulted in addnl. epothilones. Similar elaboration of isomeric as well as simpler intermediates resulted in yet another series of epothilone analogs and model systems.
IT **186692-73-9P 188260-10-8P 193071-86-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of epothilone A and analogs via olefin metathesis)

L35 ANSWER 21 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:443365 HCAPLUS
DN 127:81289
TI Preparation of epothilone derivatives as agrochemicals and pharmaceuticals
IN Hofle, Gerhard; Kiffe, Michael
PA Gesellschaft Fur Biotechnologische Forschung Mbh (Gbf), Germany; Hofle, Gerhard; Kiffe, Michael
SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9719086	A1	19970529	WO 1996-EP5080	19961118 <--
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	DE 19542986	A1	19970522	DE 1995-19542986	19951117 <--
	DE 19639456	A1	19980326	DE 1996-19639456	19960925 <--
	EP 873341	A1	19981028	EP 1996-939097	19961118 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2000500757	T2	20000125	JP 1997-519381	19961118 <--

PRAI DE 1995-19542986 19951117 <--
DE 1996-19639456 19960925 <--
WO 1996-EP5080 19961118 <--
OS MARPAT 127:81289
GI



I

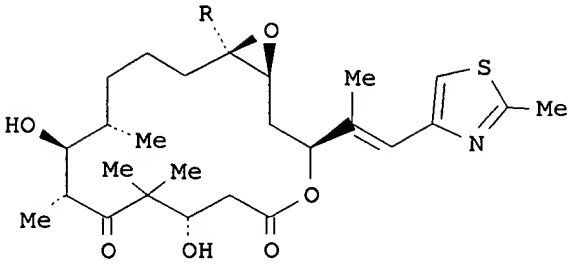
AB The title compds., e.g., I [R = H, C1-4 alkyl; R1, R2 = H, C1-6 alkyl, C1-6 acyl, benzoyl, C1-4 trialkylsilyl, benzyl, Ph, C1-6 alkoxy, C6 alkyl-, hydroxy-, and halo-substituted benzyl or phenyl; X, Y = H, halo, pseudohalo, OH, acyloxy, alkoxy, benzoyloxy; or YZ = O, bond; however, I may not be epothilone A or B] , useful as agrochems. and pharmaceuticals (no data), are prepd. Thus, epothilone A in acetone contg. trifluoroacetic acid was heated overnight at 50.degree. and the reaction mixt. was adjusted to pH 7 with 1 M phosphate buffer to give 2 isomers, each in 19% yield.

IT **186692-73-9P, Epothilone C**
189453-10-9P, Epothilone D
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of epothilone derivs. as agrochems. and pharmaceuticals)

L35 ANSWER 22 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:430309 HCAPLUS
DN 127:108793
TI Stereoselective syntheses and evaluation of compounds in the 8-desmethylepothilone A series: some surprising observations regarding their chemical and biological properties
AU Balog, Aaron; Betinato, Peter; Su, Dai-Shi; Meng, Dongfang; Sorensen, Erik; Danishefsky, Samuel J.; Zheng, Yu-Huang; Chou, Ting-Chao; He, Lifeng; Horwitz, Susan B.
CS Lab. Bioorganic Chem., Sloan-Kettering Inst. Cancer Res., New York, NY, 10021, USA
SO Tetrahedron Lett. (**1997**), 38(26), 4529-4532
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
OS CASREACT 127:108793
AB The title compds. have been synthesized in a convergent way by recourse to a Weiler type dianion construction.
IT **186692-73-9**, Desoxyepothilone A **189453-10-9**, Desoxyepothilone B
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(stereoselective syntheses and evaluation of compds. in the 8-desmethylepothilone A series)

L35 ANSWER 23 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:330310 HCAPLUS
DN 127:4950
TI Synthesis of epothilones A and B in solid and solution phase
AU Nicolaou, K. C.; Winssinger, N.; Pastor, J.; Ninkovic, S.; Sarabia, F.; He, Y.; Vourloumis, D.; Yang, Z.; Li, T.; Giannakakou, P.; Hamel, E.
CS Dep. Chemistry, Skaggs Inst. Chem. Biology, Scripps Res. Inst., La Jolla, CA, 92037, USA
SO Nature (London) (**1997**), 387(6630), 268-272
CODEN: NATUAS; ISSN: 0028-0836
PB Macmillan Magazines

DT Journal
LA English
OS CASREACT 127:4950
GI



I

AB Epothilones A (I; R = H) and B (I: R = Me), two compds. that were recently isolated from myxobacterium Sorangium cellulosum strain 90, have generated intense interest among chemists, biologists and clinicians owing to the structural complexity, unusual mechanism of interaction with microtubules and anticancer potential of these mols. Like taxol, they exhibit cytotoxicity against tumor cells by inducing microtubule assembly and stabilization, even in taxol-resistant cell lines. Following the structural elucidation of these mols. by X-ray crystallog. in 1996, several synthesis of epothilones A and B have been reported, indicative of the potential importance of these mols. in the cancer field. Here we report the first solid-phase synthesis of epothilone A, the total synthesis of epothilone B, and the generation of a small epothilone library. The solid-phase synthesis applied here to epothilone A could open up new possibilities in natural-product synthesis and, together with soln.-phase synthesis of other epothilones, paves the way for the generation of large combinatorial libraries of these important mols. for biol. screening.

IT **186692-73-9P 189453-10-9P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of a combinatorial library via solid-phase synthesis of epothilone A and soln.-phase synthesis of epothilone B)

IT **188260-10-8P 189453-40-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of a combinatorial library via solid-phase synthesis of epothilone A and soln.-phase synthesis of epothilone B)

L35 ANSWER 24 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:302059 HCAPLUS
DN 127:4948
TI Total synthesis of (-)-epothilone B: an extension of the Suzuki coupling method and insights into structure-activity relationships of the epothilones
AU Su, Dai-Shi; Meng, Dongfang; Bertinato, Peter; Balog, Aaron; Sorensen, Erik J.; Danishefsky, Samuel J.; Zheng, Yu-Huang; Chou, Ting-Chao; He, Lifeng; Horwitz, Susan B.
CS Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SO Angew. Chem., Int. Ed. Engl. (**1997**), 36(7), 757-759
CODEN: ACIEAY; ISSN: 0570-0833
PB VCH
DT Journal
LA English
OS CASREACT 127:4948
GI

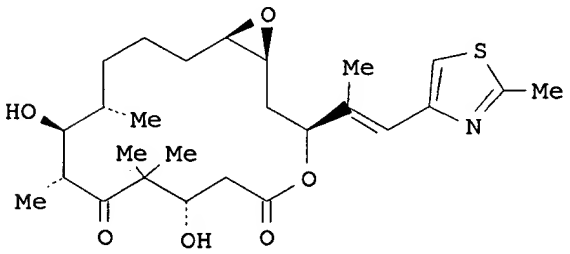
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB (-)-Epothilone B (I; R = Me, X = O) and desoxyepothilone B (I; R = Me, X = bond) were prepd. via Suzuki coupling of (Z)-vinyl iodide II with borane III. I (R = H, Me, X = O, bond) and the E-isomers of I (R = H, Me, X = bond) were tested for efficacy against drug-sensitive and resistant CCRF-CEM cell lines (IC50 = 0.0004 - 0.262 .mu.M).

IT **186692-73-9**, Desoxyepothilone A **188260-10-8**, trans-Desoxyepothilone A **189453-40-5**, trans-Desoxyepothilone B
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(synthesis of epothilone B via a Suzuki coupling and insights into antitumor structure-activity relationships)

IT **189453-10-9P**, Desoxyepothilone B
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of epothilone B via a Suzuki coupling and insights into antitumor structure-activity relationships)

L35 ANSWER 25 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:206419 HCAPLUS
DN 126:251010
TI Total synthesis of epothilone A: the macrolactonization approach
AU Nicolaou, K. C.; Sarabia, Francisco; Ninkovic, Sacha; Yang, Zhen
CS Dep. Chem., Skaggs Inst. Chem. Biol. Scripps Res. Inst., La Jolla, CA, 92037, USA
SO Angew. Chem., Int. Ed. Engl. (**1997**), 36(5), 525-527
CODEN: ACIEAY; ISSN: 0570-0833
PB VCH
DT Journal
LA English
OS CASREACT 126:251010
GI



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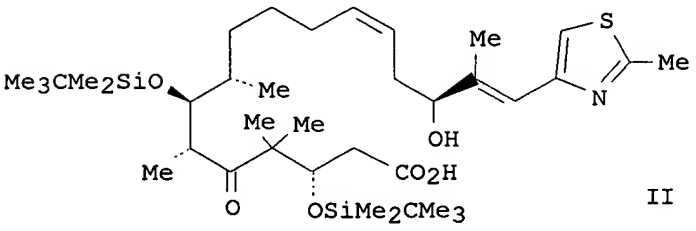


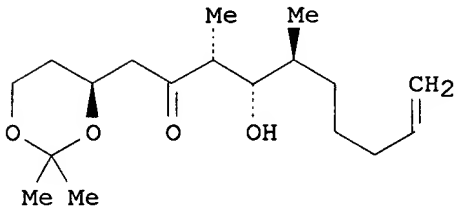
II

AB Epothilone A (I) was prepd. via a highly convergent and flexible route with macrolactonization of hydroxy acid II as the key step.

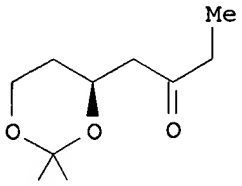
IT **186692-73-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of epothilone A via a macrolactonization approach)

L35 ANSWER 26 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:206418 HCAPLUS
DN 126:277316
TI Total synthesis of (-)-epothilone A
AU Schinzer, Dieter; Limberg, Anja; Bauer, Armin; Boehm, Oliver M.; Cordes, Martin
CS Dip. Chim., Inst. Org. Chem. Tech. Univ. Hagenring, Braunschweig, D-38106, Germany
SO Angew. Chem., Int. Ed. Engl. (**1997**), 36(5), 523-524
CODEN: ACIEAY; ISSN: 0570-0833
PB VCH
DT Journal
LA English
OS CASREACT 126:277316
GI



I



II

AB Stereoselective total synthesis of (-)-epothilone A and **epothilone C** was reported. The key step was the diastereoselective prepn. of intermediate ketone I by an aldol condensation of II with (S)-2-methyl-6-heptenal.
IT **186692-73-9P, Epothilone C**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of (-)-epothilone A)

L35 ANSWER 27 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:175662 HCAPLUS
DN 126:225133
TI Remote Effects in Macrolide Formation through Ring-Forming Olefin Metathesis: An Application to the Synthesis of Fully Active Epothilone Congeners
AU Meng, Dongfang; Su, Dai-Shi; Balog, Aaron; Bertinato, Peter; Sorensen, Erik J.; Danishefsky, Samuel J.; Zheng, Yu-Huang; Chou, Ting-Chao; He, Lifeng; Horwitz, Susan B.
CS Laboratories for Bioorganic Chemistry and Biochemical Pharmacology, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SO J. Am. Chem. Soc. (**1997**), 119(11), 2733-2734
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 126:225133
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A ring closing olefin metathesis strategy for the synthesis of the previously encountered desoxyepothilone A (I) is described. A merging of the alkyl segment II (carbons 12-21) and acyl segment III (carbons 3-11) through an intermol. aldol-condensation reaction provided substrates

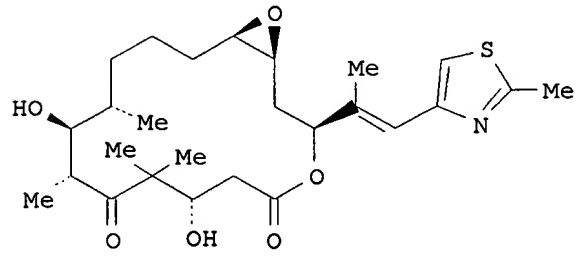
needed for ring closing olefin metathesis. Thus, thiazole IV underwent olefin metathesis in C6H6 contg. 50 mol % (PhCH:)[P(cyclohexyl)3]2RuCl2 to give 65% II and its E-isomer (Z:E 1:2). The results of these cyclization indicate a remarkable sensitivity to permutations of functionality at centers remote from the site of olefin metathesis. The in vitro biol. activity of E and Z desoxyepothilone as well as several related congeners is also described. I has IC50 range of 0.012-0.022 .mu.M against drug-sensitive and -resistant human leukemic CCRF-CEM cell lines.

IT **188259-95-2P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of antitumor epothilone congeners via ring-forming olefin metathesis)

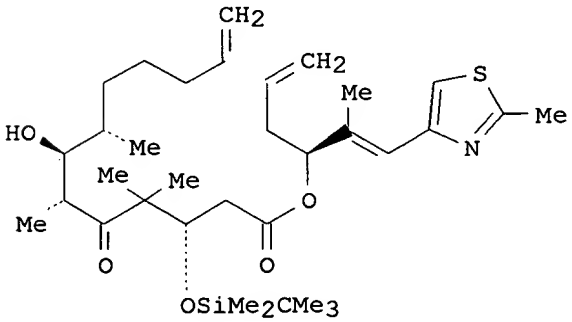
IT **186692-73-9P**, (-)-Deoxyepothilone A **188260-10-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of antitumor epothilone congeners via ring-forming olefin metathesis)

IT **188260-34-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of antitumor epothilone congeners via ring-forming olefin metathesis)

L35 ANSWER 28 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:117381 HCAPLUS
DN 126:199371
TI Total synthesis of epothilone A: the olefin metathesis approach
AU Yang, Zhen; He, Yun; Vourloumis, Dionisios; Vallberg, Hans; Nicolaou, K. C.
CS Department Chemistry Skaggs Institute Chemical Biology, Scripps Research Institute, La Jolla, CA, 92037, USA
SO Angew. Chem., Int. Ed. Engl. (**1997**), 36(1/2), 166-168
CODEN: ACIEAY; ISSN: 0570-0833
PB VCH
DT Journal
LA English
OS CASREACT 126:199371
GI



I

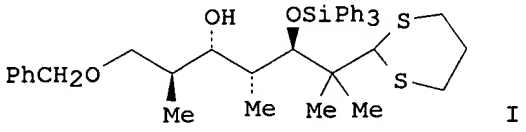


II

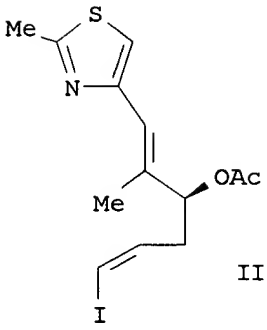
AB The asym. total synthesis of epothilone A (I) from EtCOCMe2CHO, (S)-H2C:CH(CH2)3CHMeCHO and Et 2-methylthiazole-4-carboxylate via metathesis of olefin II is described.

IT **186692-73-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of epothilone A via an olefin metathesis)

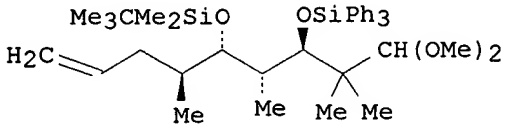
L35 ANSWER 29 OF 29 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:72321 HCAPLUS
DN 126:144023
TI Total synthesis of (-)-epothilone A
AU Balog, Aaron; Meng, Dongfang; Kamenecka, Ted; Bertinato, Peter; Su, Dai-Shi; Sorensen, Erik J.; Danishefsky, Samuel J.
CS Lab. for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SO Angew. Chem., Int. Ed. Engl. (**1997**), Volume Date 1996, 35(23/24), 2801-2803
CODEN: ACIEAY; ISSN: 0570-0833
PB VCH
DT Journal
LA English
GI



I



II



III

AB (-)-Epothilone A was prepd. from dithiane I, (R)-glycidol and [(2-methyl-1,3-thiazol-4-yl)methyl]diphenylphosphine oxide via a B-alkyl Suzuki coupling of thiazole II with acetal III followed by closure of the macrocycle with an aldol reaction.

IT **186692-73-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of (-)-epothilone A via a B-alkyl Suzuki coupling followed by closure of the macrocycle with an aldol reaction)

=> fil uspatful

FILE 'USPATFULL' ENTERED AT 08:29:27 ON 08 DEC 2000
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 5 Dec 2000 (20001205/PD)
FILE LAST UPDATED: 5 Dec 2000 (20001205/ED)
HIGHEST PATENT NUMBER: US6158049
CA INDEXING IS CURRENT THROUGH 5 Dec 2000 (20001205/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 5 Dec 2000 (20001205/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Sep 2000
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Sep 2000

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>>> is included in file records. A thesaurus is available for the <<<
>>> USPTO Manual of Classifications in the /NCL, /INCL, and /RPCL <<<
>>> fields. This thesaurus includes catchword terms from the <<<
>>> USPTO/MOC subject headings and subheadings. Thesauri are also <<<
>>> available for the WIPO International Patent Classification <<<
>>> (IPC) Manuals, editions 1-6, in the /IC1, /IC2, /IC3, /IC4, <<<
>>> /IC5, and /IC (/IC6) fields, respectively. The thesauri in <<<
>>> the /IC5 and /IC fields include the corresponding catchword <<<
>>> terms from the IPC subject headings and subheadings. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs kwic hitrn tot l38

L38 ANSWER 1 OF 9 USPATFULL
AN 2000:164661 USPATFULL
TI Deoxy epothilones and intermediates utilized in the process for preparing epothilones
IN Schinzer, Dieter, Braunschweig, Germany, Federal Republic of
Limberg, Anja, Newport Beach, CA, United States
Bohm, Oliver M., Magdeburg, Germany, Federal Republic of
Bauer, Armin, Braunschweig, Germany, Federal Republic of
Cordes, Martin, Magdeburg, Germany, Federal Republic of
PA Novartis AG, Switzerland (non-U.S. corporation)
PI US 6156905 20001205
AI US 2000-478466 20000106 (9)
RLI Division of Ser. No. US 1999-344713, filed on 25 Jun 1999, now patented, Pat. No. US 6043372 which is a division of Ser. No. US 1997-921512, filed on 2 Sep 1997, now patented, Pat. No. US 5969145
PRAI DE 1996-19636343 19960830
DE 1996-19645361 19961028
DE 1996-19645362 19961028
US 1996-27480 19960926 (60)
DT Utility
EXNAM Primary Examiner: Lambkin, Deborah C.
LREP Borovian, Joseph J.
CLMN Number of Claims: 6
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 829
AB The invention relates to a process for the production of epothilones and intermediate products within the process.

Epothilones A and B are natural substances, which can be produced by microorganisms, and the taxols have similar properties and are thus of particular interest in pharmaceutical chemistry.

DETD Diagram 4: Production of **Epothilone C** (compound 19) and Epothilone A:1 ##STR13## a) 1.3 equivalents of dicyclohexylcarbodiimide (DCC), 0.2 equivalent of 4-dimethylaminopyridine (4-DMAP), CH.sub.2 Cl.sub.2, RT,. . .
DETD (4S,7R,8S,9S,16S,1Z)-4,8-Dihydroxy-5,5,7,9-tetra-methyl-16-[(E)-1-methyl-2-(2-methyl-thiazol-4-yl)-vinyl]-1-oxa-cyclohexadec-13-ene-2,6-dione 19 (**"Epothilone C"**) and

DETD *(4S,7R,8S,9S,16S,13Z)-4,8-dihydroxy-5,5,7,9,13-penta-methyl-16-[(E)-1-methyl-2-(2-methyl-thiazol-4-yl)-vinyl]-1-oxa-cyclohexadec-13-ene-2,6-dione 19a ("**Epothilone D**")

L38 ANSWER 2 OF 9 USPATFULL
AN 2000:123520 USPATFULL
TI Tablet packing apparatus
IN Yuyama, Shoji, Toyonaka, Japan
Kodama, Tsuyoshi, Toyonaka, Japan
Honda, Shinichi, Toyonaka, Japan
Hayashi, Hirotaka, Amagasaki, Japan
Hayashi, Hirofumi, Toyonaka, Japan
Sugimoto, Kouichi, Toyonaka, Japan
Kohama, Akitomi, Toyonaka, Japan
PA Yuyama Mfg. Co., Ltd., Toyonaka, Japan (non-U.S. corporation)
PI US 6119737 20000919
AI US 1998-97733 19980616 (9)
PRAI JP 1997-159734 19970617
JP 1998-118619 19980428
DT Utility
EXNAM Primary Examiner: Recla, Henry J.; Assistant Examiner: deVore, Peter
LREP Wenderoth, Lind & Ponack, L.L.P.
CLMN Number of Claims: 16
ECL Exemplary Claim: 1
DRWN 51 Drawing Figure(s); 32 Drawing Page(s)
LN.CNT 1311
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A tablet packing apparatus of the present invention have a tablet feeding section 2 for feeding tablets, tablet vessel feeding sections 3 for feeding tablet vessels 11, and a tablet packing section 4 for packing tablets fed from the tablet feeding section 2, into a tablet vessel 11 fed from the tablet vessel feeding sections 3. The tablet feeding section comprises a plurality of feeder vessels 36 for storing different types of tablets and a tablet conveyor 27 for conveying the tablets discharged from the feeder vessels 36, to the tablet packing section 4. In the apparatus, the following restoring process is executed. After the apparatus is stopped due to abnormality, the tablets remaining in the guide paths 31 and the tablets conveyor means 27 are conveyed to the tablet packing section 4 by the tablets conveyor means 27 to recover them into the tablet vessel 11 and then the tablet vessel 11 is transferred to the container chamber 6 of the storage shelves 1.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **189453-10-9P**, Desoxyepothilone B 198475-05-7P 198475-08-0P
219824-14-3P 219824-30-3P
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)
IT 184297-59-4 **186692-73-9**, Desoxyepothilone A **188259-95-2**
188260-09-5 **188260-10-8 189453-40-5** 192370-71-1
192370-82-4 198475-06-8 198475-07-9 198475-09-1 198475-11-5
198475-12-6 198475-14-8 204918-11-6 219555-42-7 219824-31-4
219824-32-5 219824-34-7 219824-36-9 219824-38-1 219824-39-2
219824-40-5 241129-02-2 241129-03-3 241129-04-4 241129-05-5
241129-06-6 241129-07-7 241129-08-8 241129-09-9 241129-10-2
241129-11-3 241129-12-4 241129-13-5
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)
IT **189453-10-9P**, Desoxyepothilone B
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)
IT **186692-73-9**, Desoxyepothilone A **188259-95-2**
188260-10-8 189453-40-5
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)

L38 ANSWER 3 OF 9 USPATFULL

AN 2000:110125 USPATFULL
TI Chevron correction and autofocus optics for laser scanner
IN Yao, Shi-Kay, Placentia, CA, United States
Tamkin, John M., Oro Valley, AZ, United States
PA Etec Systems, Inc., Hayward, CA, United States (U.S. corporation)
PI US 6107622 20000822
AI US 1998-92319 19980605 (9)
PRAI US 1997-51974 19970708 (60)
DT Utility
EXNAM Primary Examiner: Allen, Stephone B.
LREP Skjerven Morrill MacPherson LLP; Millers, David T.
CLMN Number of Claims: 19
ECL Exemplary Claim: 1
DRWN 6 Drawing Figure(s); 3 Drawing Page(s)
LN.CNT 524
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB In a light raster scanning system imaging a medium located on a movable stage and using bidirectional scanning, i.e. scanning during stage movement in two opposing directions, the problem of chevron artifacts (angle errors), due to the different stage movement directions, is overcome by a system of reflective optics including two optical elements dynamically movable relative to one another. One of the optical reflective elements is tilted or rotated relative to the other to compensate for the angle error causing the chevron artifacts. The amount of this tilt is dynamically altered depending on the direction of stage travel and also may be dynamically adjusted to maintain linearity of the scan pattern in spite of any other irregularities in stage velocity. Also an autofocus feature is provided, whereby the two reflective elements are moved relative to one another to dynamically alter the focus of the light beam onto the medium and hence overcome any defocus problems due to irregularities in the medium surface.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **189453-10-9P**, Desoxyepothilone B 198475-05-7P 198475-08-0P
219824-14-3P 219824-30-3P
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)
IT 184297-59-4 **186692-73-9**, Desoxyepothilone A **188259-95-2**
188260-09-5 **188260-10-8 189453-40-5** 192370-71-1
192370-82-4 198475-06-8 198475-07-9 198475-09-1 198475-11-5
198475-12-6 198475-14-8 204918-11-6 219555-42-7 219824-31-4
219824-32-5 219824-34-7 219824-36-9 219824-38-1 219824-39-2
219824-40-5 241129-02-2 241129-03-3 241129-04-4 241129-05-5
241129-06-6 241129-07-7 241129-08-8 241129-09-9 241129-10-2
241129-11-3 241129-12-4 241129-13-5
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)
IT **189453-10-9P**, Desoxyepothilone B
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)
IT **186692-73-9**, Desoxyepothilone A **188259-95-2**
188260-10-8 189453-40-5
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)

L38 ANSWER 4 OF 9 USPATFULL
AN 2000:53929 USPATFULL
TI Mass production and long-term preservation of fungivorous nematodes and uses thereof
IN Ishibashi, Nobuyoshi, Saga, Japan
PA Saga University, Saga, Japan (non-U.S. corporation)
PI US 6057145 20000502
AI US 1997-977626 19971125 (8)
PRAI JP 1997-21245 19970204
DT Utility
EXNAM Primary Examiner: Saucier, Sandra E.; Assistant Examiner: Afremova, Vera

LREP Venable; Schneller, John W.; Rories, Charles C.
CLMN Number of Claims: 13
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 938
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A host fungus of a fungivorous nematode is inoculated on a solid medium or an artificial liquid medium containing an industrial vegetable waste or by-product, and then the nematode whose whole body have been sterilized is inoculated and mass-cultivated. Fungivorous ability of the nematode can be kept by subculturing using different host fungus on every culturing stage. The nematodes, when maintained about 10 days in an aerobic condition at 20-25.degree. C. with a relative humidity gradually inclined from high to low and dried to anhydrobiotic conditions, can be preserved for a long time. The nematode can be used for biological control of soil pathogens and soil insect pests.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **189453-10-9P**, Desoxyepothilone B 198475-05-7P 198475-08-0P
219824-14-3P 219824-30-3P
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)
IT 184297-59-4 **186692-73-9**, Desoxyepothilone A **188259-95-2**
188260-09-5 **188260-10-8 189453-40-5** 192370-71-1
192370-82-4 198475-06-8 198475-07-9 198475-09-1 198475-11-5
198475-12-6 198475-14-8 204918-11-6 219555-42-7 219824-31-4
219824-32-5 219824-34-7 219824-36-9 219824-38-1 219824-39-2
219824-40-5 241129-02-2 241129-03-3 241129-04-4 241129-05-5
241129-06-6 241129-07-7 241129-08-8 241129-09-9 241129-10-2
241129-11-3 241129-12-4 241129-13-5
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)
IT **189453-10-9P**, Desoxyepothilone B
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)
IT **186692-73-9**, Desoxyepothilone A **188259-95-2**
188260-10-8 189453-40-5
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)

L38 ANSWER 5 OF 9 USPATFULL
AN 2000:37928 USPATFULL
TI Intermediates in the process for preparing epothilones
IN Schinzer, Dieter, Braunschweig, Germany, Federal Republic of
Limberg, Anja, Newport Beach, CA, United States
Bohm, Oliver M., Magdeburgh, Germany, Federal Republic of
Bauer, Armin, Braunschweig, Germany, Federal Republic of
Cordes, Martin, Braunschweig, Germany, Federal Republic of
PA Novartis AG, Basel, Switzerland (non-U.S. corporation)
PI US 6043372 20000328
AI US 1999-344713 19990625 (9)
RLI Division of Ser. No. US 1997-921512, filed on 2 Sep 1997
PRAI DE 1996-19636343 19960830
DE 1996-19645361 19961028
DE 1996-19645362 19961028
US 1996-27480 19960926 (60)
DT Utility
EXNAM Primary Examiner: McKane, Joseph K.
LREP Borovian, Joseph J.
CLMN Number of Claims: 1
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 805
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a process for the production of epothilones and intermediate products within the process.

Epothilones A and B are natural substances, which can be produced by microorganisms, and the taxols have similar properties and are thus of particular interest in pharmaceutical chemistry.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD Diagram 4: Production of **Epothilone C** (compound 19) and Epothilone A:1 ##STR13## a) 1.3 equivalents of dicyclohexylcarbodiimide (DCC), 0.2 equivalent of 4-dimethylaminopyridine (4-DMAP), CH.sub.2 Cl.sub.2, RT,. . .
DETD . . . a mixture of 18a and its E-isomers are obtained analogously from 74.8 mg (0.100 mmol) of 17a. (4S,7R,8S,9S,16S, 1Z)-4,8-Dihydroxy-5,5,7,9-tetra-methyl-16-[(E)-1-methyl-2-(2-methyl-thiazol-4-yl)-vinyl]-1-oxa-cyclohexadec-13-ene-2,6dione 19 ("**Epothilone C**") and
DETD *(4S,7R,8S,9S,16S, 13Z)4,8dihydroxy-5,5,7,9,13penta-methyl-16[(E)-1-methyl-2-(2-methyl-thiazol-4-yl)-vinyl]-1-oxa-cyclohexadec-13-ene-2,6dione 19a ("**Epothilone D**") ##STR41## A solution of 35.3 mg (0.05 mmol) of 18 (Z:E-mixture 1:1) in 2.4 ml of acetonitrile/Et.sub.2 O (1:1) is. . .

L38 ANSWER 6 OF 9 USPATFULL
AN 2000:27555 USPATFULL
TI Mass production and long-term preservation of fungivorous nematodes to protect plants against soil-borne plant pathogens
IN Ishibashi, Nobuyoshi, 1090-3, Chifu, Kinryu-Machi, Saga City, Saga Pref., Japan
PI US 6033658 20000307
AI US 1998-75947 19980512 (9)
RLI Division of Ser. No. US 1997-977626, filed on 25 Nov 1997
PRAI JP 1997-21245 19970204
DT Utility
EXNAM Primary Examiner: Saucier, Sandra E.; Assistant Examiner: Afremova, V.
LREP Venable; Schneller, John W.
CLMN Number of Claims: 22
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 996
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A host fungus of a fungivorous nematode is inoculated on a solid medium or an artificial liquid medium containing an industrial vegetable waste or by-product, and then the nematode whose whole body have been sterilized is inoculated and mass-cultivated. Fungivorous ability of the nematode can be kept by subculturing using different host fungus on every culturing stage. The nematodes, when maintained about 10 days in an aerobic condition at 20-25.degree. C. with a relative humidity gradually inclined from high to low and dried to anhydrobiotic conditions, can be preserved for a long time. The nematode can be used for biological control of soil pathogens and soil insect pests.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **189453-10-9P**, Desoxyepothilone B 198475-05-7P 198475-08-0P 219824-14-3P 219824-30-3P
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)
IT 184297-59-4 **186692-73-9**, Desoxyepothilone A **188259-95-2** 188260-09-5 **188260-10-8 189453-40-5** 192370-71-1 192370-82-4 198475-06-8 198475-07-9 198475-09-1 198475-11-5 198475-12-6 198475-14-8 204918-11-6 219555-42-7 219824-31-4 219824-32-5 219824-34-7 219824-36-9 219824-38-1 219824-39-2 219824-40-5 241129-02-2 241129-03-3 241129-04-4 241129-05-5 241129-06-6 241129-07-7 241129-08-8 241129-09-9 241129-10-2 241129-11-3 241129-12-4 241129-13-5
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)
IT **189453-10-9P**, Desoxyepothilone B

(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)
IT **186692-73-9**, Desoxyepothilone A **188259-95-2**
188260-10-8 189453-40-5
(synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype)

L38 ANSWER 7 OF 9 USPATFULL
AN 2000:12778 USPATFULL
TI Preparation having increased in vivo tolerability
IN Bosslet, Klaus, Gaithersburg, MD, United States
Czech, Jorg, Marburg, Germany, Federal Republic of
Gerken, Manfred, Marburg, Germany, Federal Republic of
Straub, Rainer, Marburg, Germany, Federal Republic of
Blumrich, Matthias, Wettenberg, Germany, Federal Republic of
PA Hoechst Aktiengesellschaft, Frankfurt am Main, Germany, Federal Republic of (non-U.S. corporation)
PI US 6020315 20000201
AI US 1998-76878 19980513 (9)
PRAI DE 1997-19720312 19970515
DT Utility
EXNAM Primary Examiner: Lee, Howard C.
LREP Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.
CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 528
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A preparation having increased in vivo tolerability comprising a glycosyl-Y[--C(.dbd.Y)--X--].sub.p --W(R).sub.n --X--C(.dbd.Y)-active compound, sugar or sugar alcohol and, optionally divalent ions, and a pharmaceutically tolerable carrier.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 50-02-2D, Dexamethasone, glycoconjugates 50-07-7D, Mitomycin C, glycoconjugates 50-18-0D, Cyclophosphamide, glycoconjugates 50-24-8D, Prednisolone, glycoconjugates 50-55-5D, Reserpine, glycoconjugates 50-78-2D, Aspirin, glycoconjugates 51-21-8D, 5-Fluorouracil, glycoconjugates 52-53-9D, Verapamil, glycoconjugates 52-67-5D, Penicillamine, glycoconjugates 53-86-1D, Indomethacin, glycoconjugates 54-05-7D, Chloroquine, glycoconjugates 55-86-7D, Nitrogen mustard, glycoconjugates 56-54-2D, Quinidine, glycoconjugates 57-22-7D, Vincristine, glycoconjugates 57-83-0D, Progesterone, glycoconjugates 58-55-9D, Theophylline, glycoconjugates 59-05-2D, Methotrexate, glycoconjugates 64-86-8D, Colchicine, glycoconjugates 65-49-6D, p-Aminosalicylic acid, glycoconjugates 67-68-5D, DMSO, glycoconjugates 69-65-8, D-Mannitol 69-72-7D, Salicylic acid, glycoconjugates 83-07-8D, 4-Aminophenazone, glycoconjugates 103-90-2D, Paracetamol, glycoconjugates 107-92-6D, Butyric acid, glycoconjugates 117-39-5D, Quercetin, glycoconjugates 129-20-4D, Oxyphenbutazone, glycoconjugates 130-95-0D, Quinine, glycoconjugates 141-43-5D, Ethanolamine, glycoconjugates 147-84-2D, glycoconjugates 148-82-3D, Melphalan, glycoconjugates 300-54-9D, glycoconjugates 302-79-4D, Retinoic acid, glycoconjugates 305-03-3D, Chlorambucil, glycoconjugates 446-72-0D, Genistein, glycoconjugates 446-86-6D, Azathioprine, glycoconjugates 519-98-2D, 4-Methylaminophenazone, glycoconjugates 530-78-9D, Flufenamic acid, glycoconjugates 586-06-1D, Orciprenaline, glycoconjugates 599-79-1D, Sulfasalazine, glycoconjugates 865-21-4D, Vinblastine, glycoconjugates 1204-69-9D, glycoconjugates 2609-46-3D, Amiloride, glycoconjugates 2826-26-8D, Tyrphostin 1, glycoconjugates 3148-09-2D, Verrucarin A, glycoconjugates 5072-26-4D, Buthionine sulfoximine, glycoconjugates 7440-70-2, Calcium, biological studies 7683-59-2D, Isoprenaline, glycoconjugates 7689-03-4D, Camptothecin, glycoconjugates 9014-02-2D, Neocarzinostatin, glycoconjugates 10043-52-4, Calcium chloride, biological studies 10159-53-2D, Phosphoramide mustard, glycoconjugates 10540-29-1D, Tamoxifen,

glycoconjugates 11056-06-7D, Bleomycin, glycoconjugates 13392-18-2D, Fenoterol, glycoconjugates 15307-86-5D, Diclofenac, glycoconjugates 15663-27-1D, Cisplatin, glycoconjugates 15687-27-1D, Ibuprofen, glycoconjugates 17673-25-5D, Phorbol, esters, glycoconjugates 18559-94-9D, Salbutamol, glycoconjugates 21432-74-6D, glycoconjugates 21829-25-4D, Nifedipine, glycoconjugates 23031-25-6D, Terbutaline, glycoconjugates 23214-92-8D, Doxorubicin, glycoconjugates 23350-58-5D, Crotonamide, derivs., glycoconjugates 31430-18-9D, Nocodazole, glycoconjugates 33069-62-4D, Taxol, glycoconjugates 33419-42-0D, Etoposide, glycoconjugates 40277-05-2D, 4-Hydroxycyclophosphamide, glycoconjugates 50264-69-2D, Lonidamine, glycoconjugates 50679-08-8D, Terfenadine, glycoconjugates 51264-14-3D, m-AMSA, glycoconjugates 53123-88-9D, Rapamycin, glycoconjugates 53643-48-4D, Vindesine, glycoconjugates 57982-77-1D, Buserelin, glycoconjugates 59865-13-3D, Cyclosporin A, glycoconjugates 62653-92-3D, glycoconjugates 62996-74-1D, Staurosporine, glycoconjugates 64657-18-7D, 1,9-Dideoxyforskolin, glycoconjugates 65271-80-9D, Mitoxantrone, glycoconjugates 66575-29-9D, Forskolin, glycoconjugates 66676-88-8D, Aclacinomycin, glycoconjugates 69866-21-3D, Rachelmycin, glycoconjugates 75706-12-6D, Leflunomide, glycoconjugates 81705-04-6D, glycoconjugates 83799-24-0D, Fexofenadine, glycoconjugates 84371-65-3D, Mifepristone, glycoconjugates 89149-10-0D, 15-Deoxyspergualin, glycoconjugates 96346-61-1D, Onapristone, glycoconjugates 99674-26-7D, Esperamicin A1, glycoconjugates 100827-28-9D, Erbstatin, glycoconjugates 104987-11-3D, FK 506, glycoconjugates 113440-58-7D, Calicheamicin, glycoconjugates 118767-92-3D, Oxazolo[5,4-b]pyridin-2(1H)-one, glycoconjugates 124759-75-7D, Dynemicin, glycoconjugates 152044-53-6D, Epothilone A, glycoconjugates 152044-54-7D, Epothilone B, glycoconjugates 160528-09-6D, glycoconjugates **186692-73-9D**, Epothilone C, glycoconjugates 216251-79-5D, Oxazolo[5,4-b]quinolin-2(1H)-one, glycoconjugates 216251-80-8D, glycoconjugates 216303-34-3
(glycoconjugates of antitumor drugs with improved in vivo compatibility)

IT **186692-73-9D**, Epothilone C, glycoconjugates
(glycoconjugates of antitumor drugs with improved in vivo compatibility)

L38 ANSWER 8 OF 9 USPATFULL
AN 2000:12755 USPATFULL
TI Non-corrosive cleaning composition for removing plasma etching residues
IN Honda, Kenji, Barrington, RI, United States
Maw, Taishih, Fremont, CA, United States
PA Olin Microelectronic Chemicals, Inc., Norwalk, CT, United States (U.S. corporation)
PI US 6020292 20000201
AI US 1998-82564 19980521 (9)
RLI Division of Ser. No. US 1996-709054, filed on 6 Sep 1996, now patented, Pat. No. US 5817610
DT Utility
EXNAM Primary Examiner: Gupta, Yogendra; Assistant Examiner: Delcotto, Gregory R.
LREP Ohlandt, Greeley, Ruggiero & Perle
CLMN Number of Claims: 3
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 289
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A non-corrosive cleaning composition for removing plasma etching residues comprising water, at least one quaternary ammonium hydroxide, and at least one corrosion inhibitor selected from (i) quaternary ammonium silicates and (ii) catechol nucleus-containing oligomers having a molecular weight in the range of about 220 to about 5,000.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **186692-73-9P**, Epothilone C 186692-84-2P **189453-10-9P**,

009482834 **Image available**
WPI Acc No: 93-176369/199322
XRAM Acc No: C93-078740
Epithilone derivs. obtd. by cultivating sorangium cellulosum - are fungicides and fungistatic(s) for plant protection and pharmaceuticals with cyto-toxic and immunosuppressive activity
Patent Assignee: CIBA GEIGY AG (CIBA); GBF GES BIOTECH FORSCHUNG GMBH (GBFB)
Inventor: BEDORF N; GERTH K; HOFLE G; REICHENBACH H; HOEFLE G
Number of Countries: 023 Number of Patents: 004
Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Main IPC	Week
DE 4138042	A1	19930527	DE 4138042	A	19911119	C07D-493/04	199322 B
WO 9310121	A1	19930527	WO 92EP2656	A	19921119	C07D-493/04	199322
AU 9229437	A	19930615	AU 9229437	A	19921119	C07D-493/04	199340
DE 4138042	C2	19931014	DE 4138042	A	19911119	C07D-493/04	199341

Priority Applications (No Type Date): DE 4138042 A 19911119
Cited Patents: 1.Jnl.Ref; JP 54038113
Patent Details:

Patent	Kind	Lan	Pg	Filing Notes	Application	Patent
DE 4138042	A1		10			
WO 9310121	A1	G	23			
Designated States (National): AU CA FI HU JP KR NO US						
Designated States (Regional): AT BE CH DE DK ES FR GB GR IE IT LU MC NL SE						
AU 9229437	A			Based on		WO 9310121
DE 4138042	C2		10			

Abstract (Basic): DE 4138042 A

Epothilone derivs. of formula (I) are new. In (I) R1 = H, 1-4C alkyl, 1-4C acyl, Li+, K+, Na+, 1/2Mg2+, or 1/2Ca2+; R2 = H or Me.

(I) can be prepd. by (a) cultivating sorangium cellulosum strain So ce 90 in a medium contg. C and N source and mineral salts; (b) adding an adsorber resin either during or after cultivation; (c) sepg. the fermenter broth; (d) eluting the (I) from the adsorber resin; and (e) removing solvent(s) from the eluate immediately or after further purificn. steps; and opt. (f) purifying and separating the various cpds. (I) by high pressure/low pressure chromatography and/or recrystallisation.

USE/ADVANTAGE - (I) can be used as plant protecting agents in agriculture, forestery and/or horticulture, esp. as fungicides or fungistatics. (I) can also be used as therapeutic agents which esp. have cytotoxic activity and/or immunosuppressive activity. No further details of the activity given.

ber

Dwg.0/0

Title Terms: DERIVATIVE; OBTAIN; CULTIVATE; SORANGIUM; CELLULOSUM; FUNGICIDE; FUNGICIDE; PLANT; PROTECT; PHARMACEUTICAL; CYTO; TOXIC; IMMUNOSUPPRESSIVE; ACTIVE
Derwent Class: B02; C02; D16
International Patent Class (Main): C07D-493/04
International Patent Class (Additional): A01N-043/90; A01N-063/02; A61K-031/425; C07G-011/00; C12P-017/18; C07D-303-00; C07D-313-00;

C07D-493/04; C12R-001-00
File Segment: CPI

DIALOG(R)File 351:DERWENT WPI

011776317 **Image available**
WPI Acc No: 98-193227/199817
Related WPI Acc No: 97-491318
XRAM Acc No: C98-061819
Production of epothilone compounds with taxol-like activity - by total synthesis from new thiazolyl-hydroxy-alkyl-diene and protected dihydroxy-oxo-tridecenoic acid intermediates
Patent Assignee: SCHERING AG (SCHD); NOVARTIS AG (NOVS)
Inventor: BAUER A; BOHM O M; CORDES M; LIMBERG A; SCHINZER D; BOEHM O M
Number of Countries: 072 Number of Patents: 005
Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Main IPC	Week
WO 9808849	A1	19980305	WO 97DE111	A	19970115	C07D-493/04	199817 B
DE 19645361	A1	19980430	DE 1045361	A	19961028	C07C-069/738	199823
DE 19645362	A1	19980430	DE 1045362	A	19961028	C07D-493/04	199823
AU 9721493	A	19980319	AU 9721493	A	19970115	C07D-493/04	199831
EP 923583	A1	19990623	EP 97914077	A	19970115	C07D-493/04	199929
			WO 97DE111	A	19970115		

Priority Applications (No Type Date): DE 1045362 A 19961028; DE 1036343 A 19960830; DE 1045361 A 19961028
Patent Details:

Patent	Kind	Lan	Pg	Filing Notes	Application	Patent
WO 9808849	A1	G	48			
Designated States (National): AL AM AT AU AZ BB BG BR BY CA CH CN CZ DK EE ES FI GB GE HU IL IS JP KE KG KP KR KZ LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG US UZ VN						
Designated States (Regional): AT BE CH DE DK EA ES FI FR GB GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG						
DE 19645361	A1		12	Add to		DE 19636343
DE 19645362	A1		14			
AU 9721493	A			Based on		WO 9808849
EP 923583	A1	G		Based on		WO 9808849
Designated States (Regional): AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE						

Abstract (Basic): WO 9808849 A

Production of epothilone A and B of formula (I) comprises esterification of a thiazolyl-hydroxyalkyldiene (II) with a protected 3,7-dihydroxy-5-oxo-tridecenoic acid (III) and conversion of the resulting ester into (I) by the following sequence of reactions: (a) ring closure involving olefin metathesis in the presence of a noble metal catalyst; (b) optional deprotection of protected hydroxy groups, (c) epoxidation and (d) deprotection of protected hydroxy groups as required. R = H (epothilone A) or Me (epothilone B); B = benzyl; tetrahydropyranyl; or silyl protecting group.

Also claimed are starting materials (II) and (III) and desoxy-epothilone intermediates (IV) (obtained from step (a) and optionally (b)): B1 = H; benzyl; p-methoxybenzyl; tetrahydropyranyl; or silyl protecting group.

Further claimed are 2-(2,2-dimethyl-[1,3]dioxan-4-yl)-2-methyl-pentan-3-one (V); 2-methyl-6-heptenal (VI), 2,6-dimethyl-6-heptenal (VII) and (4S,6S)-2-(2,2-dimethyl-[1,3]-dioxan-4-yl)-5-hydroxy-2,4,6-trimethyl-un

decan-3-one (sic) (DDHTU); used for the preparation of (III); as well as protected thiazolyl-hydroxyalkyldienes (VIII) used for the preparation of (II): B2 = benzyl; p-methoxybenzyl; tetrahydropyranyl; or silyl protecting group.

Note - The final claim appears to cover stereoisomers of all the above compounds except (DDHTU) and (VIII) [sic; the phrasing of the claims is ambiguous].

(I) are known from DE 4138042.

USE - (I) have taxol-like activity and are of potential use in cancer therapy.

Dwg.0/0

Title Terms: PRODUCE; COMPOUND; TAXOL; ACTIVE; TOTAL; SYNTHESIS; NEW; THIAZOLYL; HYDROXY; ALKYL; DIENE; PROTECT; DI; HYDROXY; OXO; ACID; INTERMEDIATE

Derwent Class: B02; B03

International Patent Class (Main): C07C-069/738; C07D-493/04

International Patent Class (Additional): C07C-047/21; C07C-049/203; C07C-059/01; C07C-059/215; C07C-069/716; C07D-263/24; C07D-277/24; C07D-309/06; C07D-309/12; C07D-319/06; C07D-417/06; C07F-007/18

File Segment: CPI

Epothilone d 213312-62-0P 247230-54-2P 247230-55-3P 247230-56-4P
247230-57-5P 247230-58-6P
(synthesis and cytotoxicity of 12,13-cyclopropane epothilone derivs. for use in treatment of tumors or other hyperproliferative cellular disease)

IT **186692-73-9P**, Epothilone C **189453-10-9P**, Epothilone d
(synthesis and cytotoxicity of 12,13-cyclopropane epothilone derivs. for use in treatment of tumors or other hyperproliferative cellular disease)

L38 ANSWER 9 OF 9 USPATFULL
AN 1999:128761 USPATFULL
TI Process for the production of epothilones and intermediate products within the process
IN Schinzer, Dieter, Braunschweig, Germany, Federal Republic of
Limberg, Anja, Braunschweig, Germany, Federal Republic of
Bohm, Oliver M., Braunschweig, Germany, Federal Republic of
Bauer, Armin, Braunschweig, Germany, Federal Republic of
Cordes, Martin, Braunschweig, Germany, Federal Republic of
PA Novartis AG, Basel, Switzerland (non-U.S. corporation)
PI US 5969145 19991019
AI US 1997-921512 19970902 (8)
PRAI DE 1996-19636343 19960830
DE 1996-19645361 19961028
DE 1996-19645362 19961028
US 1996-27480 19960926 (60)
DT Utility
EXNAM Primary Examiner: McKane, Joseph K.
LREP Borovian, Joseph J.
CLMN Number of Claims: 2
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 802
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a process for the production of epothilones and intermediate products within the process.

Epothilones A and B are natural substances, which can be produced by microorganisms, and the taxols have similar properties and are thus of particular interest in pharmaceutical chemistry.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD (4S,7R,8S,9S,16S,1Z)-4,8-Dihydroxy-5,5,7,9-tetra-methyl-16-[(E)-1-methyl-2-(2-methyl-thiazol-4-yl)-vinyl]-1-oxa-cyclohexadec-13-ene-2,6-dione 19 ("**Epothilone C**") and *(4S,7R,8S,9S,16S,13Z)-4,8-dihydroxy-5,5,7,9,13-penta-methyl-16-[(E)-1-methyl-2-(2-methyl-thiazol-4-yl)-vinyl]-1-oxa-cyclohexadec-13-ene-2,6-dione 19a ("**Epothilone D**") ##STR41## A solution of 35.3 mg (0.05 mmol) of 18 (Z:E-mixture 1:1) in 2.4 ml of acetonitrile/Et.sub.2 O (1:1) is. . .

011332369 **Image available**
WPI Acc No: 97-310273/199728
Related WPI Acc No: 97-290281
XRAM Acc No: C97-099771

New epothilone derivatives - useful as plant protectants, cytostatics and immunosuppressants.

Patent Assignee: GES BIOTECHNOLOGISCHE FORSCHUNG MBH (GBFB); GBF GES BIOTECH FORSCHUNG GMBH (GBFB)
Inventor: HOEFLE G; KIFFE M

Number of Countries: 020 Number of Patents: 004
Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Main IPC	Week
WO 9719086	A1	19970529	WO 96EP5080	A	19961118	C07D-493/04	199728 B
DE 19639456	A1	19980326	DE 1039456	A	19960925	C07D-493/04	199818
EP 873341	A1	19981028	EP 96939097	A	19961118	C07D-493/04	199847
			WO 96EP5080	A	19961118		
EP 903348	A1	19990324	EP 96939097	A	19961118	C07D-277/30	199916
			EP 98121523	A	19961118		

Priority Applications (No Type Date): DE 1039456 A 19960925; DE 1042986 A 19951117
Cited Patents: WO 9310121
Patent Details:

Patent	Kind	Lan	Pg	Filing Notes	Application	Patent
WO 9719086	A1	G	39			
Designated States (National): JP US						
Designated States (Regional): AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE						
DE 19639456	A1		10			
EP 873341	A1	G		Based on		WO 9719086
Designated States (Regional): AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE						
EP 903348	A1	G		Div ex	EP 96939097	
				Div ex		EP 873341
Designated States (Regional): AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE						

Abstract (Basic): WO 9719086 A

Epothilone derivatives of formula (I)-(III) are new. R = H or 1-4C alkyl; Y Z = H, halo, pseudohalogen, OH, 1-6C acyloxy, 1-6C alkoxy or benzoyloxy; or Y+Z = bond or O; (a) R' = Q; A = H; B = OR1; and R'' = R2; or R''+B = C(O)O, C(S)O, S(O)O, Si(R'')2O or C(R'')(R''')O; (b) R' = C(=X)Me or CH(OX')Me; A = H; B = OR1; and R'' = R2; (c) R' = Q; and A+B = bond; X = O, NOR4, N-NR4R5 or N-NHCONR4R5; X' = H, 1-18C alkyl, 1-18C acyl, benzyl, benzoyl or cinnamoyl; Q = a group of formula (i); and R1-R5 = H, 1-6C alkyl, 1-6C acyl, benzoyl, 1-4C trialkylsilyl, or benzyl or phenyl (both optionally substituted by 1-6C alkoxy, 6C alkyl, OH and halo); or R4+R5 = 2-6C alkylene.

Epothilone A and B are excluded.

Also claimed is the production of epothilone A or B and/or their 12,13-bis-epi derivatives comprising the epoxidation of epothilone C (for A or derivatives) or D (for B or derivatives) especially using dimethyldioxirane or a peracid.

USE - The compounds are used in plant protectants for agriculture,

horticulture and forestry, and in pharmaceuticals, especially as cytostatics (claimed). The compounds have cytotoxic and immunosuppressant activity, and are useful for the control of malignant tumours. Epothilone A and B are known from DE 4138042.

Dwg.0/0

Title Terms: NEW; DERIVATIVE; USEFUL; PLANT; PROTECT; CYTOSTATIC; IMMUNOSUPPRESSIVE

Derwent Class: B02; B03; C02

International Patent Class (Main): C07D-277/30; C07D-493/04

International Patent Class (Additional): A01N-043/78; A01N-043/90; A61K-031/425; C07D-277/24; C07D-417/06; C07D-493/08; C07D-493/12; C07D-497/12; C07F-007/07; C07F-007/08; C12P-017/16; C07D-303-00; C07D-313-00; C07D-493/04; C07D-321-00

File Segment: CPI